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(54) Title: NEW HERBICIDAL COMPOSITIONS

(57) Abstract: The present invention provides a method of reducing phytotoxicity to crops (especially maize) caused by a herbicidal benzoylisoxazole and/or dione derivative of formula (I) or an agriculturally acceptable salt or metal complex thereof; which method comprises applying to the locus of the crop an antidotally effective amount of an antidote compound, optionally with a partner herbicide.

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New Herbicidal CompositionsBackground of the Invention

The present invention relates to the safening of herbicidal compounds, in particular
5 the safening of benzoylisoxazole and/or dione derivatives which are useful for the growing of crops in particular for maize (*Zea mays*) and to compositions useful for such treatment.

Discussion of Related Art

- 10 An important factor influencing the usefulness of a given herbicide is its selectivity toward crops. In some cases, a beneficial crop is susceptible to the effects of a herbicide when applied at application rates needed to control weed growth. In addition, certain herbicidal compounds are phytotoxic to some weed species but not to others. This may render such herbicides unsuitable for controlling weeds in
15 the presence of certain crops. To be effective, a herbicide must cause minimal damage (preferably no damage) to the beneficial crop while maximising the damage to weed species which infest the locus of the crop. Reduction in herbicidal injury to crops without an unacceptable reduction in the herbicidal action can be accomplished by the use of crop protectants known as "antidotes" or "safeners".
20 Identification of an antidote which safens a herbicide in crops is a complicated task. The precise mechanism by which an antidote reduces herbicidal crop injury has not been established. In general, the safening effect of a compound is specific to the herbicidal partner and the crop where the active ingredients are applied.
Benzoylisoxazoles are known to possess herbicidal properties for example,
25 European Patent Publication Nos. 0418175, 0487357, 0527036 and 0560482. European Patent Publication Nos. 0496630, 0496631, 0625505 and 0625508 disclose certain dione derivatives possessing herbicidal properties. In general such herbicides are very active against broad-leaved and grass weeds by pre- and/or post-emergence application. The method of controlling vegetation with these
30 compounds comprises applying a herbicidally effective amount of the compounds, usually with an inert carrier or diluent, to the area where herbicidal control is desired. However, the herbicidal benzoylisoxazole and/or dione compounds have been found in some instances to adversely affect or interfere with the development of crop plants, especially maize crops. The effective use of these herbicides for
35 controlling weeds in the presence of such crops may be enhanced by, or may

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require in certain instances, the addition of a compound which is antidotally effective with the herbicide.

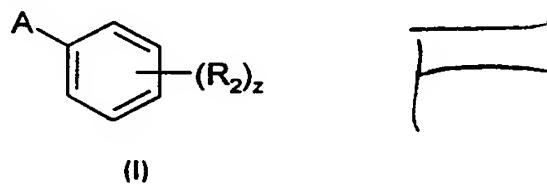
Although it is possible to say in general terms that herbicides may be used in the presence of an antidote, the problem in identifying specific antidotes for specific 5 crops at appropriate rates to control weed growth, is substantial.

The applicants have found that certain compounds, in particular when applied as described hereinafter, are effective antidotes for the protection of crops, especially maize crops, from herbicidal injury or the reduction of herbicidal injury caused by the application of an amount of a benzoylisoxazole and/or dione compound 10 (optionally in admixture with a partner herbicide) effective to control the growth of weeds.

It is an object of the present invention to provide compositions of benzoylisoxazoles and/or dione herbicides in combination with antidotes, said compositions providing a reduction in crop injury, especially to maize (*Zea mays*), 15 arising from the phytotoxicity of the herbicides, and a method of using said herbicides and antidotes.

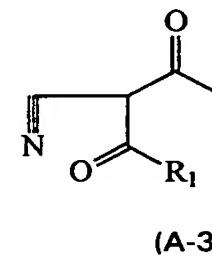
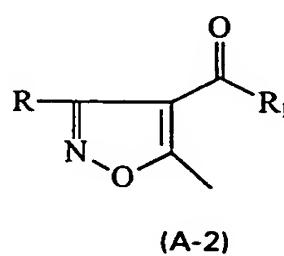
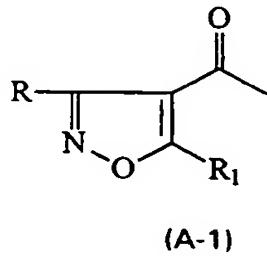
Description of the Invention

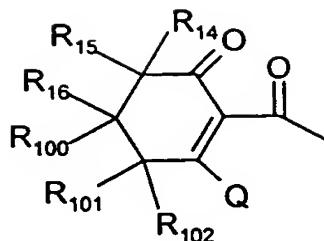
The present invention provides a method of reducing phytotoxicity to a crop 20 (especially maize) at a locus caused by the application thereto of a herbicidal benzoylisoxazole and/or dione derivative of formula (I):



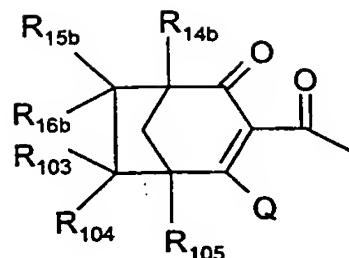
wherein:

25 A is a group (A-1) to (A-7):

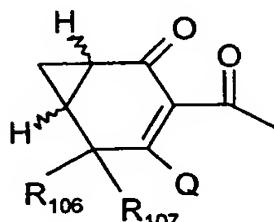




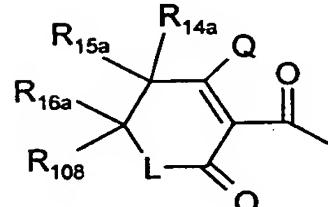
(A-4)



(A-5)

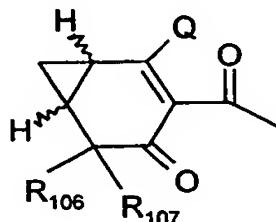


(A-6)

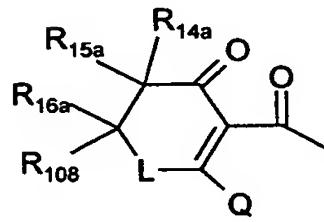


(A-7)

5 or a corresponding formula (A-6a) or (A-7a):



(A-6a)



(A-7a)

in which the position of the carbonyl group and the group Q are reversed and the double bond in the ring is attached to the carbon atom attached to the group Q;

10 R is a hydrogen atom or a halogen atom; a straight- or branched chain alkyl, alkenyl or alkynyl group containing from one to six carbon atoms which is optionally substituted by one or more halogen atoms; a cycloalkyl group containing from 3 to 6 carbon atoms optionally substituted by one or more groups R⁵, one or more halogen atoms or a group -CO₂R³; or a group selected from -CO₂R³, -COR⁵, cyano, nitro, -CONR³R⁴ and -S(O)_kR¹³;

15 R¹ is a straight- or branched-chain alkyl, alkenyl or alkynyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms; or a cycloalkyl group containing from three to six carbon atoms optionally substituted by one or more groups R⁵ or one or more halogen atoms;

R^2 is a halogen atom; a straight- or branched-chain alkyl, alkenyl or alkynyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms; a straight- or branched-chain alkyl group containing up to six carbon atoms which is substituted by one or more groups $-OR^5$; or a group selected from nitro, cyano, $-CO_2R^5$, $-S(O)_pR^6$, $-O(CH_2)_mOR^5$, $-COR^5$, $-NR^{11}R^{12}$, $-N(R^8)SO_2R^7$, $-N(R^8)CO_2R^7$, $-OR^5$, $-OSO_2R^7$, $-SO_2NR^3R^4$, $-CONR^3R^4$, $-CSNR^3R^4$, $-(CR^9R^{10})_y-S(O)_qR^7$ and $-SF_5$;

or two groups R^2 , on adjacent carbon atoms of the phenyl ring may, together with the carbon atoms to which they are attached, form a 5 to 7 membered saturated or unsaturated heterocyclic ring containing up to three ring heteroatoms selected from nitrogen, oxygen and sulfur, which ring is optionally substituted by one or more groups selected from halogen, nitro, $-S(O)_pR^{13}$, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, =O (or a 5- or 6- membered cyclic acetal thereof), and =NO-R³, it being understood that a sulphur atom, where present in the ring, may be in the form of a group $-SO-$ or $-SO_2-$;

z is an integer from one to five: when z is greater than one the groups R^2 may be the same or different;

R^3 , R^4 and R^{109} are each independently a hydrogen atom, or a straight- or branched chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms;

R^5 and R^{110} are each independently a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms or a straight- or branched-chain alkenyl or alkynyl group containing from two to six (preferably from three to six) carbon atoms which is optionally substituted by one or more halogen atoms;

R^6 and R^7 , which may be the same or different, are each R^5 ; or phenyl optionally substituted by from one to five groups which may be the same or different selected from a halogen atom, a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms;

R^8 , R^9 and R^{10} are each a hydrogen atom or R^6 ;

R¹¹ and R¹² are each a hydrogen atom or R⁵;

R¹³ and R¹¹¹ are each a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms;

Q is hydroxy, C1-6 alkoxy, OR¹¹², SR¹¹² or SR¹¹¹;

5 L is oxygen or NR¹⁰⁹;

R¹⁴, R^{14a}, R^{14b}, R¹⁵, R^{15a}, R^{15b}, R¹⁶, R^{16a}, R^{16b}, R¹⁰⁰, R¹⁰¹, R¹⁰², R¹⁰³,

R¹⁰⁴, R¹⁰⁵, R¹⁰⁶, R¹⁰⁷ and R¹⁰⁸ are each the same or different groups

selected from hydrogen, R¹¹⁰, -(CH₂)_uCO₂R¹⁰⁹, halogen, cyano, C1-6 alkoxy,

-(CH₂)_x-[phenyl optionally substituted by from one to five groups R¹¹³ which may

10 be the same or different], and cycloalkyl containing from three to six carbon atoms
optionally substituted by C1-6 alkyl or -S(O)_pR¹¹¹;

R¹¹² is phenyl optionally substituted by from one to five groups selected from
halogen, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy and nitro;

R¹¹³ is a group selected from halogen, R¹¹⁴, nitro, cyano, -CO₂R¹¹⁵,

15 -S(O)_pR¹¹¹, -OR¹¹¹ and -NR¹¹⁵R¹¹⁶;

R¹¹⁴ is a straight- or branched- chain alkyl group containing one to three carbon
atoms optionally substituted by one or more halogen atoms;

R¹¹⁵ and R¹¹⁶ which may be the same or different, are each a hydrogen atom or
R¹¹⁰;

20 p, q and u are each independently zero, one or two;

k and m are each one, two or three;

x is zero or one;

y is an integer from one to four; when y is greater than one, the groups R⁹ and
R¹⁰ may be the same or different;

25 or an agriculturally acceptable salt or metal complex thereof; which method
comprises applying to the locus of the crop, preferably before the herbicidal
compound, an antidotally effective amount of an antidote compound, and optional
partner herbicide.

It will be understood that the said antidote is, in general, antidotally eff c tive for
30 said benzoylisoxazole and/or dione derivative.

It will be understood that antidotes used in the method of the invention may form
for example salts, and that the use of such salts is also embraced by the invention.

- In this patent specification including the accompanying claims it is understood that the term 'agriculturally acceptable salts' is meant salts the cations or anions of which are known and accepted in the art for the formation of salts for agricultural or horticultural use. Preferably the salts are water-soluble. Suitable salts with bases include alkali metal (e.g. sodium and potassium), alkaline earth metal (e.g. calcium and magnesium), ammonium and amine (e.g. diethanolamine, triethanolamine, octylamine, morpholine and dioctylmethylamine) salts. Suitable acid addition salts, e.g. formed by compounds of formula (I) containing an amino group, include salts with inorganic acids, for example hydrochlorides, sulphates, phosphates and nitrates and salts with organic acids for example acetic acid.
- It will be understood that the term 'dione' as used in this specification including the accompanying claims does not exclude the possible presence of additional C=O groups as in triones.
- By the term "metal complexes" is meant compounds in which one or both of the oxygen atoms of the 1,3-dione of formula (A-3), (A-4), (A-5), (A-6), (A-7), (A-6a) or (A-7a) act as chelating agents to a metal cation. Examples of such cations include zinc, manganese, cupric, cuprous, ferric, ferrous, titanium and aluminium.
- Compounds of formula (I) may exist in enolic tautomeric forms that may give rise to geometric isomers around the enolic double bond. Furthermore in certain cases the above substituents may contribute to optical isomerism and/or stereoisomerism. All such forms and mixtures thereof are embraced by the present invention.
- It is to be understood that in this specification compounds comprising a cyclohexane ring corresponding to formula (A-6) or (A-7) or a precursor thereof include the compounds with the corresponding formula (A-6a) or (A-7a) or precursors thereof.
- In the definitions of symbols in this specification including the accompanying claims unless otherwise specified the following definitions generally apply to the radicals in the formulae (I), (Ia) and (Ib) below:-
- 'halogen' means a fluorine, chlorine, bromine or iodine atom; and alkyl groups and moieties are straight or branched chain and contain from 1 to 6 carbon atoms.

Preferably A is a group of formula (A-1), (A-2), (A-3) or (A-4) (compounds of formula (A-1) are most preferred).

The benzoyl ring of the compounds of formula (I) is preferably 2,4-disubstituted, 2,3-disubstituted or 2,3,4-trisubstituted.

- 5 Preferably in formulae (A-4) to (A-7), the groups R¹⁴, R¹⁵, R¹⁶, R¹⁰⁰, R¹⁰¹, R¹⁰², R^{14a}, R^{15a}, R^{16a}, R¹⁰³, R^{14b}, R^{15b}, R^{16b}, R¹⁰⁴, R¹⁰⁵ and R¹⁰⁸ are each hydrogen or lower alkyl (preferably hydrogen, methyl or ethyl); L (in A-7a) is NH; and Q is hydroxy or -S-phenyl.

- Compounds of formula (I) in which A is (A-1),(A-2) or (A-3); R is hydrogen or
10 -CO₂R³ (in A-1 or A-2) wherein R³ is a straight- or branched chain alkyl group containing up to three carbon atoms; and R¹ is cyclopropyl are preferred.
A further preferred class of compounds of formula (I) wherein A is (A-1) are those wherein:
R is hydrogen or -CO₂Et;

- 15 R¹ is cyclopropyl;
and two groups R², on adjacent carbon atoms of the phenyl ring may, together with the carbon atoms to which they are attached, combine to form a 5 or 6 membered saturated or unsaturated heterocyclic ring which is fused to the 2,3 or 3,4 positions of the benzoyl ring; wherein the heterocyclic ring contains two hetero
20 atoms selected from sulphur and oxygen which are attached to the 2 and 3, or 3 and 4 positions of the benzoyl ring; and in which the 4-substituent of the benzoyl ring is halogen or S(O)_pMe, or the 2-substituent of the benzoyl ring is methyl, S(O)_pMe or -CH₂S(O)_qMe respectively; and optionally the heterocyclic ring may be substituted by one or more halogen atoms.

- 25 A further preferred class of compounds of formula (I) are those wherein A is (A-1); R is hydrogen or -CO₂Et ; R¹ is cyclopropyl; R² is a halogen atom or a group selected from -CF₃, Me, Et, -S(O)_pMe, -CH₂S(O)_qMe and optionally halogenated methoxy or ethoxy; and z is two or three.

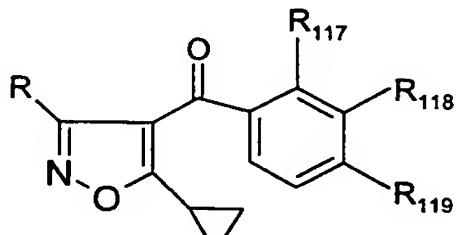
- A further preferred class of compounds of formula (I) wherein A is (A-4) are those
30 wherein:

R¹⁴, R¹⁵, R¹⁶, R¹⁰⁰, R¹⁰¹ and R¹⁰² are each hydrogen;
and two groups R², on adjacent carbon atoms of the phenyl ring may, together with the carbon atoms to which they are attached, combine to form a 6 membered

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saturated heterocyclic ring which is fused to the 2,3 or 3,4 positions of the benzoyl ring; wherein the heterocyclic ring contains a sulphur atom attached to the 4 position of the benzoyl ring, optionally in the form of a group -SO_2- or $\text{-SO}_2\text{O}-$, and which ring is substituted by a 5- or 6-membered acetal thereof.

- 5 A more preferred class of compounds of formula (I) having the formula (Ia):



(Ia)

are those wherein:

R is hydrogen or $\text{-CO}_2\text{Et}$;

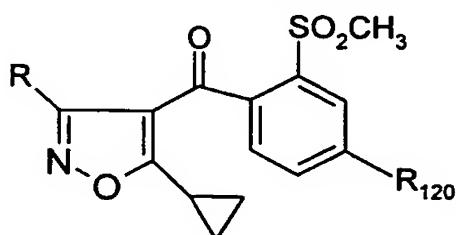
10 R¹¹⁷ is selected from $\text{-S(O)}_p\text{Me}$, Me, Et, a chlorine, bromine or fluorine atom, methoxy, ethoxy and $\text{-CH}_2\text{S(O)}_q\text{Me}$;

R¹¹⁸ is selected from a hydrogen atom, a chlorine, bromine or fluorine atom, methoxy, ethoxy and $\text{-S(O)}_p\text{Me}$;

15 R¹¹⁹ is selected from a hydrogen atom, a chlorine, bromine or fluorine atom, methoxy and CF_3 ; and

p and q each independently have the values zero, one or two.

An especially preferred class of compounds of formula (I) have the formula (Ib):

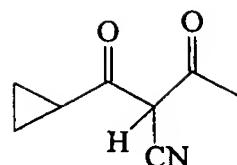


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(Ib)

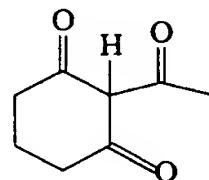
wherein R¹²⁰ is chlorine, bromine or trifluoromethyl; and
R is hydrogen or $\text{-CO}_2\text{Et}$.

Preferred diones are those in which a substituted phenyl ring as defined in formula (I); (Ia); or (Ib), is attached to a grouping;



Such diones in which the phenyl ring is substituted by two groups independently selected from halogen, alkyl, S(O)_palkyl (p = 0, 1 or 2) and haloalkyl are also preferred.

- 5 Preferred triones are those in which a substituted phenyl ring, as defined above, is attached to a grouping;



The following compounds of formula (I) are among the most preferred for use in the present invention:

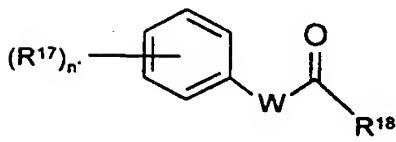
- 10 5-cyclopropyl-4-[2-chloro-3-ethoxy-4-(ethylsulphonyl)benzoyl]isoxazole; 4-(4-chloro-2-methylsulphonylbenzoyl)-5-cyclopropylisoxazole; 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole; 4-(4-bromo-2-methylsulphonylbenzoyl)-5-cyclopropylisoxazole; 5-cyclopropyl-4-[4-fluoro-3-methoxy-2-(methylsulphonyl)benzoyl]isoxazole; 15 4-(4-bromo-2-methylsulphonylmethylbenzoyl)-5-cyclopropylisoxazole; ethyl 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole-3-carboxylate; 2-[2-chloro-(4-methylsulphonyl)benzoyl]-1,3-cyclohexanedione; 2-[2-nitro-(4-methylsulphonyl)benzoyl]-1,3-cyclohexanedione;
- 20 2-(2,3-dihydro-5,8-dimethyl-1,1-dioxospiro[4H-1-benzothiin-4,2'-(1,3)dioxolan]-6-ylcarbonyl)cyclohexane-1,3-dione; 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)-3-methylthio-isoxazole; and
- 25 2-cyano-3-cyclopropyl-1-(2-methylsulphonyl-4-trifluoromethylphenyl)propan-1,3-dione.

The most preferred compounds are 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole and 2-[2-nitro-(4-methylsulphonyl)benzoyl]-1,3-cyclohexanedione.

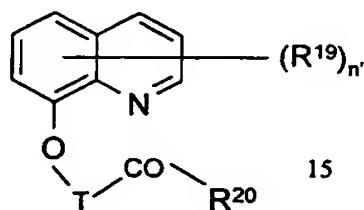
Herbicidal benzoylisoxazole and/or dione compounds for use in this invention may be prepared by the methods described in the aforementioned patent publications, or by the application or adaptation of known methods used or described in the chemical literature.

- 5 It has been found that the aforementioned antidote compounds can be selected from a wide range of chemical substances. The preferred compositions of this invention may include one or more antidotes which are not suggested by earlier antidotes which have been proposed for use with the benzoylisoxazole and/or dione derivatives of formula (II). The compositions of the invention may include one
 10 or more of the following antidotes:

- a) compounds of the formulae (II) and (III),



(II)



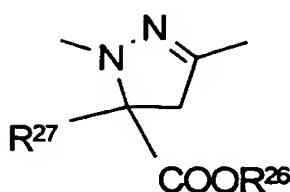
(III)

- 20 wherein:

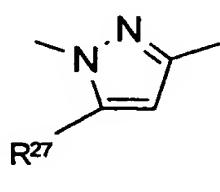
n' is an integer from zero to 5, preferably zero to 3;

T is a (C₁ or C₂)-alkanediyl chain which is unsubstituted or substituted by one or two (C₁-C₄)-alkyl radicals or by [(C₁-C₃)-alkoxy]carbonyl;

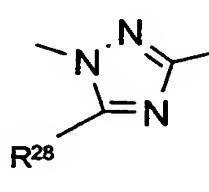
- 25 W is an unsubstituted or substituted divalent heterocyclic radical selected from the group of the partially unsaturated or aromatic five-membered heterocyclic rings which have 1 to 3 hetero ring atoms of the N or O type, where the ring contains at least one N atom and not more than one O atom, preferably a radical selected from the group consisting of (W1) to (W4),



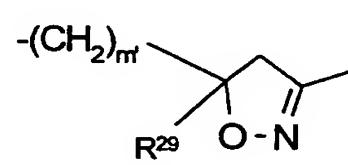
(W1)



(W2)



(W3)



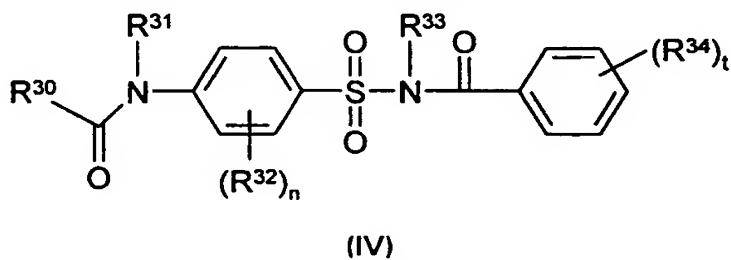
(W4)

m' is zero or 1;

- R¹⁷ and R¹⁹ are the same or different halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, nitro or (C₁-C₄)-haloalkyl;
- R¹⁸ and R²⁰ are the same or different OR²⁴, SR²⁴ or NR²⁴R²⁵ or a saturated or unsaturated 3- to 7-membered heterocycle having at least one N atom and up to 3 hetero atoms, preferably from the group selected from O and S, which is linked to the carbonyl group in (II) or (III) via the N atom and is unsubstituted or substituted by radicals selected from the group consisting of (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy or optionally substituted phenyl, preferably a radical of the formula OR²⁴, NHR²⁵ or N(CH₃)₂, in particular of the formula OR²⁴;
- 10 R²⁴ is hydrogen or an unsubstituted or substituted aliphatic hydrocarbon radical, preferably having a total of 1 to 18 C atoms;
- R²⁵ is hydrogen, (C₁-C₆)-alkyl, (C₁-C₆)-alkoxy or substituted or unsubstituted phenyl;
- R²⁶ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₈)-haloalkyl, (C₁-C₄)-alkoxy-(C₁-C₄)-alkyl, (C₁-C₆)-hydroxyalkyl, (C₃-C₁₂)-cycloalkyl or tri-(C₁-C₄)-alkyl-silyl; and
- 15 R²⁷, R²⁸, R²⁹ are the same or different hydrogen, (C₁-C₈)-alkyl, (C₁-C₈)-haloalkyl, (C₃-C₁₂)-cycloalkyl or substituted or unsubstituted phenyl;
- b) one or more compounds selected from:
- 4,6-dichloro-2-phenylpyrimidine (fenclozime),
- 20 benzyl 2-chloro-4-trifluoromethyl-1,3-thiazole-5-carboxylate (flurazone),
N-(4-methylphenyl)-N'-(1-methyl-1-phenylethyl)urea (daimuron),
1-[4-(N-2-methoxybenzoylsulfamoyl)phenyl]-3-methylurea,
1-[4-(N-2-methoxybenzoylsulfamoyl)phenyl]-3,3-dimethylurea,
1-[4-(N-4,5-dimethylbenzoylsulfamoyl)phenyl]-3-methylurea,
- 25 1-[4-(N-naphthoylsulfamoyl)phenyl]-3,3-dimethylurea; or
(2,4-dichlorophenoxy)acetic acid (2,4-D),
(4-chlorophenoxy)acetic acid,
(R,S)-2-(4-chloro-o-tolyloxy)propionic acid (mecoprop),
- 4-(2,4-dichlorophenoxy)butyric acid (2,4-DB),
- 30 (4-chloro-o-tolyloxy)acetic acid (MCPA),
4-(4-chloro-o-tolyloxy)butyric acid,
4-(4-chlorophenoxy)butyric acid,
3,6-dichloro-2-methoxybenzoic acid (dicamba),
1-(ethoxycarbonyl)ethyl 3,6-dichloro-2-methoxybenzoate (lactidichlor)
- 35 and their salts and esters, preferably (C₁-C₈); or

- (\pm)-4-dichloroacetyl-3,4-dihydro-3-methyl-2H-1,4-benzoxazine (benoxacor),
N,N-diallyl-2,2-dichloroacetamide (dichlormid),
(*RS*)-3-dichloroacetyl-5-(2-furyl)-2,2-dimethyloxazolidine (furilazole),
N-(4-chlorophenyl)maleimide (CMPI),
5 4-hydroxy-1-methyl-3-(1-1*H*-tetrazol-5-ylmethanoyl)-1*H*-quinolin-2-one,
(S)-1-(1-alpha-methylbenzyl)-3-*p*-tolylurea ((S)-MBU), and
S-1-methyl-1-phenylethyl piperidine-1-carbothioate (dimepiperate).

c) N-acylsulfonamides of the formula (IV) or salts thereof:



wherein:

- R³⁰ is hydrogen; or R³⁰ is a hydrocarbon radical, a hydrocarbon-oxy radical, a hydrocarbon-thio radical or a heterocyclyl radical which is preferably bonded via a carbon atom, which radicals are unsubstituted or substituted by one or more groups selected from halogen, cyano, nitro, amino, hydroxyl, carboxyl, formyl, carboxamide, sulfonamide and radicals of the formula Z^a-R^a, each hydrocarbon moiety preferably having 1 to 20 carbon atoms and a carbon-containing radical R³⁰ inclusive of substituents preferably having 1 to 30 carbon atoms;
- 10 R³¹ is hydrogen or (C₁-C₄)-alkyl, preferably hydrogen, or R³⁰ and R³¹ together with the group of the formula -CO-N- are the residue of a 3- to 8-membered saturated or unsaturated ring;
- 15 R³² is identical or different halogen, cyano, nitro, amino, hydroxyl, carboxyl, formyl, CONH₂, SO₂NH₂ or a radical of the formula Z^b-R^b;
- 20 R³³ is hydrogen or (C₁-C₄)-alkyl, preferably H;
- 25 R³⁴ is the same or different halogen, cyano, nitro, amino, hydroxyl, carboxyl, CHO, CONH₂, SO₂NH₂ or a radical of the formula Z^c-R^c;
- 30 R^a is a hydrocarbon radical or a heterocyclyl radical, which radicals are unsubstituted or substituted by one or more groups which may be the same or different selected from halogen, cyano, nitro, amino, hydroxyl, mono- and di-[(C₁-C₄)-alkyl]amino, or an alkyl radical in which a plurality, preferably 2 or 3, non-adjacent CH₂ groups are in each case replaced by one oxygen at m;

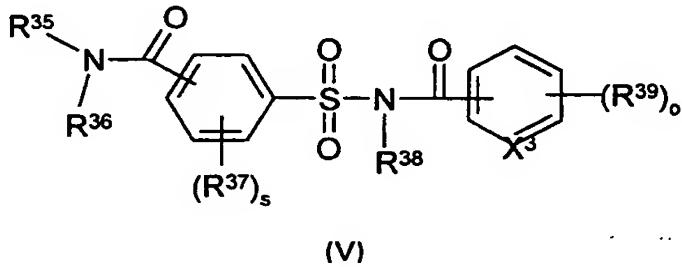
R^b and R^c are the same or different hydrocarbon radical or heterocyclyl radical, which radicals are unsubstituted or substituted by one or more groups which may be the same or different selected from halogen, cyano, nitro, amino, hydroxyl, phosphoryl, halo-(C₁-C₄)-alkoxy, mono- and di-[(C₁-C₄)-alkyl]amino, or an alkyl radical in which a plurality, preferably 2 or 3, non-adjacent CH₂ groups are replaced in each case by one oxygen atom;

5 Z^a is a divalent group of the formula O, S, CO, CS, CO-O, CO-S, O-CO, S-CO, SO, SO₂, NR*, CO-NR*, NR*-CO, SO₂-NR* or NR*-SO₂, the bond given on the right-hand side of each of the divalent groups being the bond to the radical R^a, and the radicals R* are each independently H, (C₁-C₄)-alkyl or halo-(C₁-C₄)-alkyl;

10 10 Z^b and Z^c are each independently a direct bond or a divalent group of the formula O, S, CO, CS, CO-O, CO-S, O-CO, S-CO, SO, SO₂, NR*, SO₂-NR*, NR*-SO₂, CO-NR* or NR*-CO, where, in asymmetrical divalent groups, the atom on the right-hand side is linked to the radical R^b or R^c and where the radicals R* are each 15 independently H, (C₁-C₄)-alkyl or halo-(C₁-C₄)-alkyl;

n is an integer from zero to 4, preferably zero, 1 or 2, in particular zero or 1, and t is an integer from zero to 5, preferably zero, 1, 2 or 3, in particular zero, 1 or 2;

d) acylsulfamoylbenzamides of the formula (V), or salts thereof:



wherein:

X³ is CH or N;

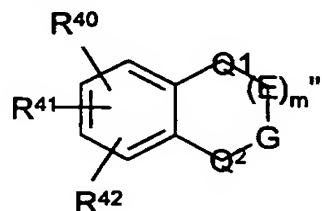
R³⁵ is hydrogen, or a heterocyclyl or hydrocarbon radical, which radicals are

25 optionally substituted by one or more groups which may be the same or different selected from halogen, cyano, nitro, amino, hydroxyl, carboxyl, CHO, CONH₂, SO₂NH₂ and Z^d-R^d;

R³⁶ is hydrogen, hydroxyl; or R³⁶ is (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₂-C₆)-alkynyl, (C₁-C₆)-alkoxy, (C₂-C₆)-alkenyloxy, which radicals are optionally substituted by one or more groups which may be the same or different selected from halogen, 30 hydroxyl, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy and (C₁-C₄)-alkylthio, or

- R³⁵ and R³⁶ together with the nitrogen atom to which they are attached are a 3- to 8-membered saturated or unsaturated ring;
- R³⁷ is halogen, cyano, nitro, amino, hydroxyl, carboxyl, CHO, CONH₂, SO₂NH₂ or Z^a-R^a;
- 5 R³⁸ is hydrogen, (C₁-C₄)-alkyl, (C₂-C₄)-alkenyl or (C₂-C₄)-alkynyl;
- R³⁹ is halogen, cyano, nitro, amino, hydroxyl, carboxyl, phosphoryl, CHO, CONH₂, SO₂NH₂ or Z'^a-R'^a;
- R^d is a (C₂-C₂₀)-alkyl radical whose carbon chain is interrupted by one or more oxygen atoms; or R^d is a heterocyclyl or a hydrocarbon radical, which radicals are 10 optionally substituted by one or more groups which may be the same or different selected from halogen, cyano, nitro, amino, hydroxyl, mono- and di-[(C₁-C₄)-alkyl]amino;
- R^e and R^f are the same or different (C₂-C₂₀)-alkyl radical which carbon chain is interrupted by one or more oxygen atoms; or R^e and R^f are the same or different 15 heterocyclyl or hydrocarbon radical, which radicals are optionally substituted by one or more groups which may be the same or different selected from halogen, cyano, nitro, amino, hydroxyl, phosphoryl, (C₁-C₄)-haloalkoxy, mono- and di-[(C₁-C₄)-alkyl]amino;
- Z^d is a divalent unit selected from the group consisting of O, S, CO, CS, C(O)O, 20 C(O)S, SO, SO₂, NR*, C(O)NR* or SO₂NR*;
- Z^e and Z'^a are the same or different and are a direct bond or a divalent unit selected from O, S, CO, CS, C(O)O, C(O)S, SO, SO₂, NR*, SO₂NR* and C(O)NR*;
- R* is hydrogen, (C₁-C₄)-alkyl or (C₁-C₄)-haloalkyl;
- s is an integer from zero to 4, and
- 25 o is an integer from zero to 5 when X is CH, or is an integer from zero to 4 when X is N;

e) compounds of the formula (VI):



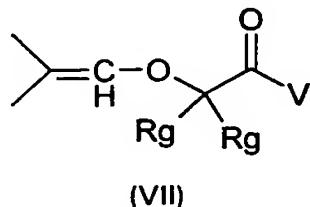
wherein:

R^{40} is H, $(C_1\text{-}C_4)$ -alkyl, $(C_1\text{-}C_4)$ -alkyl substituted by $(C_1\text{-}C_4)$ -alkyl-X⁴ or $(C_1\text{-}C_4)$ -haloalkyl-X⁴, $(C_1\text{-}C_4)$ -haloalkyl, NO_2 , CN , $-COO-R^{43}$, NR_2^{44} , $SO_2NR_2^{45}$ or $CONR_2^{46}$;

R^{41} is H, halogen, $(C_1\text{-}C_4)$ -alkyl, CF_3 , $(C_1\text{-}C_4)$ -alkoxy or $(C_1\text{-}C_4)$ -haloalkoxy;

R^{42} is H, halogen or $(C_1\text{-}C_4)$ -alkyl;

- 5 Q^1 , Q^2 , E and G are the same or different O, S, CR_2^{47} , CO, NR^{48} or a group of the formula (VII):



with the proviso that:

- 10 i) at least one of the groups Q^1 , Q^2 , E, G is a carbonyl group, that exactly one of these groups is a radical of the formula (VII) and that the group of the formula (VII) is adjacent to a carbonyl group, and
ii) two adjacent groups Q^1 , Q^2 , E and G cannot simultaneously be oxygen;
- 15 R^9 is the same or different H or $(C_1\text{-}C_8)$ -alkyl or the two radicals R^9 together are $(C_2\text{-}C_6)$ -alkylene;
 V is $Y^3\text{-}R^h$ or NR_2^{49} ;
 X^4 is O or $S(O)_i$;
 Y^3 is O or S;
- 20 R^h is H, $(C_1\text{-}C_8)$ -alkyl, $(C_1\text{-}C_8)$ -haloalkyl, $(C_1\text{-}C_4)$ -alkoxy-($C_1\text{-}C_8$)-alkyl, $(C_3\text{-}C_6)$ -alkenyloxy-($C_1\text{-}C_8$)-alkyl, or phenyl-($C_1\text{-}C_8$)-alkyl, where the phenyl ring is optionally substituted by halogen, $(C_1\text{-}C_4)$ -alkyl, CF_3 , methoxy or methyl-S(O)_x; $(C_3\text{-}C_6)$ alkenyl, $(C_3\text{-}C_6)$ -haloalkenyl, phenyl-($C_3\text{-}C_6$)-alkenyl, $(C_3\text{-}C_6)$ -alkynyl, phenyl-($C_3\text{-}C_6$)-alkynyl, oxetanyl, furfuryl or tetrahydrofuryl;
- 25 R^{43} is H or $(C_1\text{-}C_4)$ -alkyl;
 R^{44} is H, $(C_1\text{-}C_4)$ -alkyl, $(C_1\text{-}C_4)$ -alkylcarbonyl or the two radicals R^{44} together are $(C_4\text{-}C_5)$ -alkylene;
 R^{45} and R^{46} are the same or different H, $(C_1\text{-}C_4)$ -alkyl, or the two radicals R^{45} and/or R^{46} together are $(C_4\text{-}C_5)$ -alkylene, where one CH_2 group can be replaced by O or S
- 30 or one or two CH_2 groups can be replaced by NR^i ;
 R^i is H or $(C_1\text{-}C_8)$ -alkyl;
 R^{47} is H, $(C_1\text{-}C_8)$ -alkyl or the two radicals R^{47} together are $(C_2\text{-}C_6)$ -alkylene;

R⁴⁸ is H, (C₁-C₈)-alkyl, substituted or unsubstituted phenyl, or benzyl which is unsubstituted or substituted on the phenyl ring;

R⁴⁹ is H, (C₁-C₈)-alkyl, phenyl, phenyl-(C₁-C₈)-alkyl, which phenyl rings can be substituted by F, Cl, Br, NO₂, CN, OCH₃, (C₁-C₄)-alkyl or CH₃SO₂; (C₁-C₄)-alkoxy-

5 (C₁-C₈)-alkyl, (C₃-C₆)-alkenyl, (C₃-C₆)-alkynyl, (C₃-C₆)-cycloalkyl or two radicals R⁴⁹ together are (C₄-C₅)-alkylene, where one CH₂ group can be replaced by O or S or one or two CH₂ groups can be replaced by NR^k;

R^k is H or (C₁-C₄)-alkyl;

m'' is 0 or 1 and

10 l is 0, 1 or 2;

including stereoisomers and agriculturally acceptable salts.

Unless otherwise defined individually, the following definitions generally apply to the radicals in the formulae (II) to (VII).

15 The radicals alkyl, alkoxy, haloalkyl, haloalkoxy, alkylamino and alkylthio and the corresponding unsaturated and/or substituted radicals can be in each case straight-chain or branched in the carbon skeleton. Alkyl radicals, also the composite meanings such as alkoxy, haloalkyl and the like, preferably have 1 to 4 carbon atoms and are, for example, methyl, ethyl, n- or i-propyl or n-, i-, t- or 2-butyl.

20 Alkenyl and alkynyl radicals have the meanings of the unsaturated radicals which are possible which correspond to the alkyl radicals; alkenyl is, for example, allyl, 1-methylprop-2-en-1-yl, 2-methylprop-2-en-1-yl, but-2-en-1-yl, but-3-en-1-yl, 1-methylbut-3-en-1-yl and 1-methylbut-2-en-1-yl. Alkynyl is, for example, propargyl, but-2-yn-1-yl, but-3-yn-1-yl, 1-methylbut-3-yn-1-yl. "(C₁-C₄)-Alkyl" is the

25 abbreviation for alkyl having 1 to 4 carbon atoms; the same applies analogously to other general definitions of radicals, where the range of the possible number of carbon atoms is indicated in brackets.

Cycloalkyl is, preferably, a cyclic alkyl radical having 3 to 8, preferably 3 to 7, especially preferably 3 to 6, carbon atoms, for example cyclopropyl, cyclobutyl,

30 cyclopentyl and cyclohexyl. Cycloalkenyl and cycloalkinyl denote corresponding unsaturated compounds.

Halogen is fluorine, chlorine, bromine or iodine. Haloalkyl, haloalkenyl and haloalkynyl are alkyl, alkynyl or alkynyl which are partially or fully substituted by halogen, preferably by fluorine, chlorine and/or bromine, in particular by fluorine or chlorine, for example CF₃, CHF₂, CH₂F, CF₃CF₂, CH₂FCHCl, CCl₃, CHCl₂, CH₂CH₂Cl.

Haloalkoxy is, for example, OCF₃, OCHF₂, OCH₂F, CF₃CF₂O, OCH₂CF₃ and OCH₂CH₂Cl. This also applies analogously to other halogen-substituted radicals.

A hydrocarbon radical can be an aromatic or an aliphatic hydrocarbon radical, where an aliphatic hydrocarbon radical is generally a straight-chain or branched

5 saturated or unsaturated hydrocarbon radical, preferably having 1 to 18, especially preferably 1 to 12, carbon atoms, for example alkyl, alkenyl or alkynyl.

Aliphatic hydrocarbon radical preferably means alkyl, alkenyl or alkynyl having up to 12 carbon atoms; the same applies analogously to an aliphatic hydrocarbon radical in a hydrocarbon-oxy radical.

10 Aryl is generally a mono-, bi- or polycyclic aromatic system having by preference 6-20 carbon atoms, preferably 6 to 14 carbon atoms, especially preferably 6 to 10 carbon atoms, for example phenyl, naphthyl, tetrahydronaphthyl, indenyl, indanyl, pentalenyl and fluorenyl, especially preferably phenyl.

15 Heterocyclic ring, heterocyclic radical or heterocyclyl is a mono-, bi- or polycyclic ring system which is saturated, unsaturated and/or aromatic and contains one or more, preferably 1 to 4, hetero atoms, preferably selected from the group consisting of N, S and O.

20 Preferred are saturated heterocycles having 3 to 7 ring atoms and one or two hetero atoms selected from the group consisting of N, O and S, their chalcogens not being adjacent. Especially preferred are monocyclic rings having 3 to 7 ring atoms and a hetero atom selected from the group consisting of N, O and S, and also morpholine, dioxolane, piperazine, imidazoline and oxazolidine. Very especially preferred saturated heterocycles are oxirane, pyrrolidone, morpholine and tetrahydrofuran.

25 Also preferred are partially unsaturated heterocycles having 5 to 7 ring atoms and one or two hetero atoms selected from the group consisting of N, O and S.

Especially preferred are partially unsaturated heterocycles having 5 to 6 ring atoms and one hetero atom selected from the group consisting of N, O and S. Very especially preferred partially unsaturated heterocycles are pyrazoline, imidazoline

30 and isoxazoline.

Equally preferred is heteroaryl, for example mono- or bicyclic aromatic heterocycles having 5 to 6 ring atoms which contain one to four hetero atoms selected from the group consisting of N, O, S, the chalcogens not being adjacent. Especially preferred are monocyclic aromatic heterocycles having 5 to 6 ring atoms which

35 contains a hetero atom selected from the group consisting of N, O and S, and also

pyrimidine, pyrazine, pyridazine, oxazole, thiazole, thiadiazole, oxadiazole, pyrazole, triazole and isoxazole. Very especially preferred are pyrazole, thiazole, triazole and furan.

- Substituted radicals, such as substituted hydrocarbon radicals, for example
- 5 substituted alkyl, alkenyl, alkynyl, aryl such as phenyl and arylalkyl such as benzyl, or substituted heterocyclyl, are a substituted radical which is derived from an unsubstituted skeleton, the substituents being, by preference, one or more, by preference 1, 2 or 3, in the case of Cl and F also up to the maximum possible number of, substituents selected from the group consisting of halogen, alkoxy,
- 10 haloalkoxy, alkylthio, hydroxyl, amino, nitro, carboxyl, cyano, azido, alkoxy carbonyl, alkyl carbonyl, formyl, carbamoyl, mono- and dialkylaminocarbonyl, substituted amino such as acylamino, mono- and dialkylamino and alkylsulfynyl, haloalkylsulfynyl, alkylsulfonyl, haloalkylsulfonyl and, in the case of cyclic radicals, also alkyl and haloalkyl and the unsaturated aliphatic substituents which
- 15 correspond to the abovementioned saturated hydrocarbon-containing substituents, preferably alkenyl, alkynyl, alkenyloxy and alkynyloxy. In the case of radicals having carbon atoms, those having 1 to 4 carbon atoms, in particular 1 or 2 carbon atoms, are preferred. As a rule, preferred substituents are those selected from the group consisting of halogen, for example fluorine or chlorine, (C₁-C₄)-alkyl,
- 20 preferably methyl or ethyl, (C₁-C₄)-haloalkyl, preferably trifluoromethyl, (C₁-C₄)-alkoxy, preferably methoxy or ethoxy, (C₁-C₄)-haloalkoxy, nitro and cyano. Especially preferred in this context are the substituents methyl, methoxy and chlorine.
- Mono- or disubstituted amino is a chemically stable radical selected from the group
- 25 of the substituted amino radicals which are N-substituted by, for example, one or two identical or different radicals selected from the group consisting of alkyl, alkoxy, acyl and aryl; preferably monoalkylamino, dialkylamino, acylamino, arylamino, N-alkyl-N-arylamino and N-heterocycles. Preferred in this context are alkyl radicals having 1 to 4 carbon atoms. By preference, aryl is phenyl. By
- 30 preference, substituted aryl is substituted phenyl. The definition given further below applies to acyl, preferably (C₁-C₄)-alkanoyl. This also applies analogously to substituted hydroxylamino or hydrazino.
- By preference, optionally substituted phenyl is phenyl which is unsubstituted or mono- or polysubstituted, preferably up to trisubstituted, in the case of halogen
- 35 such as Cl and F also up to pentasubstituted, by identical or different radicals

selected from the group consisting of halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkyl, (C₁-C₄)-haloalkoxy and nitro, for example o-, m- and p-tolyl, dimethylphenyls, 2-, 3- and 4-chlorophenyl, 2-, 3- and 4-trifluoro- and -trichlorophenyl, 2,4-, 3,5-, 2,5- and 2,3-dichlorophenyl, o-, m- and p-methoxyphenyl.

An acyl radical is the radical of an organic acid having by preference up to 6 carbon atoms, for example the radical of a carboxylic acid and radicals of acids derived therefrom, such as thiocarboxylic acid, optionally N-substituted iminocarboxylic acids, or the radical of carbonic monoesters, optionally N-

10 substituted carbamic acids, sulfonic acid, sulfinic acids, phosphonic acids, phosphinic acids. Acyl is, for example, formyl, alkylcarbonyl such as (C₁-C₄-alkyl)-carbonyl, phenylcarbonyl, it being possible for the phenyl ring to be substituted, for example as indicated above for phenyl, or alkyloxycarbonyl, phenyloxycarbonyl, benzyloxycarbonyl, alkylsulfonyl, alkylsulfynyl or N-alkyl-1-iminoalkyl.

15 Formulae (II) to (VII) are also understood to include all stereoisomers and mixtures thereof. The stereoisomers include enantiomers, diastereomers and Z- and E-isomers.

20 Preferred herbicide/antidote combinations are those which comprise antidotes of the formula (II) and/or (III) where the symbols and indices have the following meanings:

R²⁴ is hydrogen, (C₁-C₁₈)-alkyl, (C₃-C₁₂)-cycloalkyl, (C₂-C₈)-alkenyl and (C₂-C₁₈)-alkynyl, where the carbon-containing groups can be substituted by one or more

25 preferably up to three, radicals R⁵⁰;

R⁵⁰ is the same or different halogen, hydroxyl, (C₁-C₈)-alkoxy, (C₁-C₈)-alkylthio, (C₂-C₈)-alkenylthio, (C₂-C₈)-alkynylthio, (C₂-C₈)-alkenyloxy, (C₂-C₈)-alkynyoxy, (C₃-C₇)-cycloalkyl, (C₃-C₇)-cycloalkoxy, cyano, mono- and di-(C₁-C₄)-alkyl)amino, carboxyl,

(C₁-C₈)-alkoxycarbonyl, (C₂-C₈)-alkenyloxycarbonyl, (C₁-C₈)-alkylthiocarbonyl, (C₂-

30 C₈)-alkynyoxy carbonyl, (C₁-C₈)-alkylcarbonyl, (C₂-C₈)-alkenylcarbonyl, (C₂-C₈)-alkynylcarbonyl, 1-(hydroxyimino)-(C₁-C₆)-alkyl, 1-[(C₁-C₄)-alkylimino]-(C₁-C₄)-alkyl,

1-[(C₁-C₄)-alkoxyimino]-(C₁-C₆)-alkyl, (C₁-C₈)-alkylcarbonylamino, (C₂-C₈)-

alkenylcarbonylamino, (C₂-C₈)-alkynylcarbonylamino, aminocarbonyl, (C₁-C₈)-

alkylaminocarbonyl, di-(C₁-C₆)-alkylaminocarbonyl, (C₂-C₆)-alkenylaminocarbonyl,

35 (C₂-C₆)-alkynylaminocarbonyl, (C₁-C₈)-alkoxycarbonylamino, (C₁-C₈)-

- alkylaminocarbonylamino, (C₁-C₆)-alkylcarbonyloxy which is unsubstituted or substituted by R⁵¹, or is (C₂-C₆)-alkenylcarbonyloxy, (C₂-C₆)-alkynylcarbonyloxy, (C₁-C₈)-alkylsulfonyl, phenyl, phenyl-(C₁-C₆)-alkoxy, phenyl-(C₁-C₆)-alkoxycarbonyl, phenoxy, phenoxy-(C₁-C₆)-alkoxy, phenoxy-(C₁-C₆)-alkoxycarbonyl,
- 5 phenylcarbonyloxy, phenylcarbonylamino, phenyl-(C₁-C₆)-alkylcarbonylamino, it being possible for the last-mentioned 9 radicals to be unsubstituted or mono- or polysubstituted, preferably up to trisubstituted, by radicals R⁵²; SiR'₃, OSiR'₃, R'₃Si-(C₁-C₈)-alkoxy, CO-O-NR'₂, O-N=CR'₂, N=CR'₂, O-N R'₂, NR'₂, CH(OR')₂, O-(CH₂)_q-CH(OR')₂, CR''(OR')₂, O-(CH₂)_wCR''(OR'')₂ or by R''O-CHR'''CHCOR''-(C₁-C₆)-alkoxy,
- 10 R⁵¹ is the same or different halogen, nitro, (C₁-C₄)-alkoxy and phenyl which is unsubstituted or substituted by one or more, preferably up to three, radicals R⁵²;
- R⁵² is the same or different halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkyl, (C₁-C₄)-haloalkoxy or nitro;
- 15 R' is the same or different hydrogen, (C₁-C₄)-alkyl, phenyl which is unsubstituted or substituted by one or more, preferably up to three, radicals R⁵², or two radicals R' together form a (C₂-C₆)-alkanediyl chain;
- R'' is the same or different (C₁-C₄)-alkyl or two radicals R'' together form a (C₂-C₆)-alkanediyl chain;
- 20 R''' is hydrogen or (C₁-C₄)-alkyl; and
- w is zero, 1, 2, 3, 4, 5 or 6.

Especially preferred are herbicide/antidote combinations according to the invention which comprise antidotes of the formula (II) and/or (III) where the symbols and indices have the following meanings:

R²⁴ is hydrogen, (C₁-C₈)-alkyl or (C₃-C₇)-cycloalkyl, the above carbon-containing radicals being unsubstituted or mono- or polysubstituted by halogen or mono- or disubstituted, by preference monosubstituted, by radicals R⁵⁰,

R⁵⁰ is the same or different hydroxyl, (C₁-C₄)-alkoxy, carboxyl, (C₁-C₄)-alkoxycarbonyl, (C₂-C₆)-alkenyloxycarbonyl, (C₂-C₆)-alkynyoxy carbonyl, 1-(hydroxyimino)-(C₁-C₄)-alkyl, 1-[(C₁-C₄)-alkylimino]-(C₁-C₄)-alkyl and 1-[(C₁-C₄)-alkoxyimino]-(C₁-C₄)-alkyl; SiR'₃, O-N=CR'₂, N=CR'₂, NR'₂ and ONR'₃ where R' is identical or different hydrogen, (C₁-C₄)-alkyl or, as a pair, a (C₄-C₅)-alkanediyl chain,

30 R²⁷, R²⁸ and R²⁹ are the same or different hydrogen, (C₁-C₈)-alkyl, (C₁-C₆)-haloalkyl, (C₃-C₇)-cycloalkyl or phenyl which is unsubstituted or substituted by one or more

groups selected from halogen, cyano, nitro, amino, mono- and di-[(C₁-C₄)-alkyl]-amino, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-alkoxy, (C₁-C₄)-haloalkoxy, (C₁-C₄)-alkylthio and (C₁-C₄)-alkylsulfonyl;

R²⁶ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₈)-haloalkyl, (C₁-C₄-alkoxy)-(C₁-C₄)-alkyl, (C₁-C₆)-

5 hydroxyalkyl, (C₃-C₇)-cycloalkyl or tri-(C₁-C₄)-alkylsilyl,

R¹⁷ and R¹⁹ are the same or different halogen, methyl, ethyl, methoxy, ethoxy, (C₁ or C₂)-haloalkyl, by preference hydrogen, halogen or (C₁ or C₂)-haloalkyl.

Very especially preferred are antidotes in which the symbols and indices in formula

10 (II) have the following meanings:

R¹⁷ is halogen, nitro or (C₁-C₄)-haloalkyl;

n' is zero, 1, 2 or 3;

R¹⁸ is a radical of the formula OR²⁴,

R²⁴ is hydrogen, (C₁-C₈)-alkyl or (C₃-C₇)-cycloalkyl, where the above carbon-

15 containing radicals are unsubstituted or mono- or polysubstituted, by preference up to trisubstituted, by the same or different halogen radicals, or up to disubstituted, by preference monosubstituted, by identical or different radicals selected from the group consisting of hydroxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkoxycarbonyl, (C₂-C₆)-alkenyloxycarbonyl, (C₂-C₆)-alkynyloxycarbonyl,

20 1-(hydroxyimino)-(C₁-C₄)-alkyl, 1-[(C₁-C₄)-alkylimino]-(C₁-C₄)-alkyl, 1-[(C₁-C₄)-alkoxyimino]-(C₁-C₄)-alkyl and radicals of the formulae SiR'₃, O-N=CR'₂, N=CR'₂, NR'₂ and O-NR'₂, where the radicals R' in the abovementioned formulae are identical or different hydrogen, (C₁-C₄)-alkyl or, as a pair, are (C₄ or C₅)-alkanediyl; R²⁷, R²⁸ and R²⁹ are the same or different hydrogen, (C₁-C₈)-alkyl, (C₁-C₆)-haloalkyl,

25 (C₃-C₇)-cycloalkyl or phenyl which is unsubstituted or substituted by one or more radicals selected from halogen, (C₁-C₄)-alkyl, (C₁-C₄)-alkoxy, nitro, (C₁-C₄)-haloalkyl and (C₁-C₄)-haloalkoxy, and

R²⁶ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₈)-haloalkyl, (C₁-C₄)-alkoxy-(C₁-C₄)-alkyl, (C₁-C₆)-hydroxyalkyl, (C₃-C₇)-cycloalkyl or tri-(C₁-C₄)-alkylsilyl.

30

Very especially preferred are also antidotes of the formula (III) where the symbols and indices have the following meanings:

R¹⁹ is halogen or (C₁-C₄)-haloalkyl;

n' is zero, 1, 2 or 3, where (R¹⁹)_{n'} is, by preference, 5-Cl;

35 R²⁰ is a radical of the formula OR²⁴;

T is CH₂ or CH(COO-(C₁-C₃)-alkyl), and

R²⁴ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₈)-haloalkyl or (C₁-C₄)-alkoxy-(C₁-C₄)-alkyl, by preference (C₁-C₈)-alkyl.

5 Especially preferred are antidotes of the formula (II) where the symbols and indices have the following meanings:

W is (W1);

R¹⁷ is halogen or (C₁-C₂)-haloalkyl;

n' is zero, 1, 2 or 3, where (R¹⁷)_{n'} is by preference 2,4-Cl₂;

10 R¹⁸ is a radical of the formula OR²⁴;

R²⁴ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-hydroxyalkyl, (C₃-C₇)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₄)-alkyl or tri-(C₁-C₂)-alkylsilyl, by preference (C₁-C₄)-alkyl;

R²⁷ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₄)-haloalkyl or (C₃-C₇)-cycloalkyl, by preference hydrogen or (C₁-C₄)-alkyl, and

15 R²⁶ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-hydroxyalkyl, (C₃-C₇)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₄)-alkyl or tri-(C₁-C₂)-alkylsilyl, by preference hydrogen or (C₁-C₄)-alkyl.

Also especially preferred are herbicidal compositions comprising an antidote of the 20 formula (II) where the symbols and indices have the following meanings:

W is (W2);

R¹⁷ is halogen or (C₁-C₂)-haloalkyl;

n' is zero, 1, 2 or 3, where (R¹⁷)_{n'} is by preference 2,4-Cl₂;

R¹⁸ is a radical of the formula OR²⁴;

25 R²⁴ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-hydroxyalkyl, (C₃-C₇)-cycloalkyl, (C₁-C₄-alkoxy)-(C₁-C₄)-alkyl or tri-(C₁-C₂)-alkyl-silyl, by preference (C₁-C₄)-alkyl, and

R²⁷ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₄)-haloalkyl, (C₃-C₇)-cycloalkyl or phenyl, by preference hydrogen or (C₁-C₄)-alkyl.

30

Also especially preferred are antidotes of the formula (II) where the symbols and indices have the following meanings:

W is (W3);

R¹⁷ is halogen or (C₁-C₂)-haloalkyl;

35 n' is zero, 1, 2 or 3, where (R¹⁷)_{n'} is by preference 2,4-Cl₂;

R¹⁸ is a radical of the formula OR²⁴;

R²⁴ is hydrogen, (C₁-C₈)-alkyl, (C₁-C₄)-haloalkyl, (C₁-C₄)-hydroxyalkyl, (C₃-C₇)-cycloalkyl, (C₁-C₄)-alkoxy-(C₁-C₄)-alkyl or tri-(C₁-C₂)-alkylsilyl, by preference (C₁-C₄)-alkyl, and

5 R²⁸ is (C₁-C₈)-alkyl or (C₁-C₄)-haloalkyl, by preference C₁-haloalkyl.

Also especially preferred are antidotes of the formula (II) where the symbols and indices have the following meaning:

W is (W4);

10 R¹⁷ is halogen, nitro, (C₁-C₄)-alkyl, (C₁-C₂)-haloalkyl, by preference CF₃, or (C₁-C₄)-alkoxy;

n' is 0, 1, 2 or 3;

m' is 0 or 1;

R¹⁸ is a radical of the formula OR²⁴;

15 R²⁴ is hydrogen, (C₁-C₄)-alkyl, carboxy-(C₁-C₄)-alkyl, (C₁-C₄)-alkoxycarbonyl-(C₁-C₄)-alkyl, by preference (C₁-C₄)-alkoxy-CO-CH₂-, (C₁-C₄)-alkoxy-CO-C(CH₃)(H)-, HO-CO-CH₂- or HO-CO-C(CH₃)(H)-, and

R²⁹ is hydrogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, (C₃-C₇)-cycloalkyl or phenyl which is unsubstituted or substituted by one or more groups selected from halogen, (C₁-C₄)-alkyl, (C₁-C₄)-haloalkyl, nitro, cyano and (C₁-C₄)-alkoxy.

The following groups of compounds are especially suitable for use as antidotes for the herbicidally active substances of the formula (I):

a) compounds of the dichlorophenylpyrazoline-3-carboxylic acid type (i.e. of the formula (II), where W = (W1) and (R¹⁷)_n = 2,4-Cl₂), by preference compounds such as ethyl 1-(2,4-dichlorophenyl)-5-(ethoxycarbonyl)-5-methyl-2-pyrazoline-3-carboxylate (II-1), and related compounds as described in WO-A 91/07874;

b) dichlorophenylpyrazolecarboxylic acid derivatives (i.e. of the formula (II)), where W = (W2) and (R¹⁷)_n = 2,4-Cl₂, by preference compounds such as ethyl 1-(2,4-dichlorophenyl)-5-methyl-pyrazole-3-carboxylate (II-2), ethyl 1-(2,4-dichlorophenyl)-5-isopropylpyrazole-3-carboxylate (II-3), ethyl 1-(2,4-dichlorophenyl)-5-(1,1-dimethylethyl)pyrazole-3-carboxylate (II-4), ethyl 1-(2,4-dichlorophenyl)-5-phenylpyrazole-3-carboxylate (II-5) and related compounds as described in EP-A-0 333 131 and EP-A-0 269 806.

- c) Compounds of the triazolecarboxylic type (i.e. of the formula (II), where W = (W3) and (R¹⁷)_n = 2,4-Cl₂), by preference compounds such as fenchlorazole ethyl, i.e. ethyl 1-(2,4-dichlorophenyl)-5-trichloromethyl-(1H)-1,2,4-triazole-3-carboxylate (II-6); fenchlorazole, i.e. 1-(2,4-dichlorophenyl)-5-trichloromethyl-(1H)-1,2,4-triazole-3-carboxylic acid, and related compounds (see EP-A-0 174 562 and EP-A-0 346 620);
- d) Compounds of the 5-benzyl- or 5-phenyl-2-isoxazoline-3-carboxylic acid type or the 5,5-diphenyl-2-isoxazoline-3-carboxylic acid type (where W = (W4)), by preference compounds such as ethyl 5-(2,4-dichlorobenzyl)-2-isoxazoline-3-carboxylate (II-7) or ethyl 5-phenyl-2-isoxazoline-3-carboxylate (II-8) and related compounds as they are described in WO-A- 91/08202, or ethyl 5,5-diphenyl-2-isoxazoline-3-carboxylate (II-9), or 5,5-diphenyl-2-isoxazoline-3-carboxylic acid, or n-propyl 5,5-diphenyl-2-isoxazoline-carboxylate (II-10) or ethyl 5-(4-fluorophenyl)-5-phenyl-2-isoxazoline-3-carboxylate (II-11), as described in WO-A-95/07897.
- e) Compounds of the 8-quinolinoxyacetic acid type, for example those of the formula (III) where (R¹⁹)_n = 5-Cl, R²⁰ = OR²⁴ and T = CH₂, by preference the compounds
1-methyl (5-chloro-8-quinolinoxy)acetate (III-1),
1,3-dimethyl-but-1-yl (5-chloro-8-quinolinoxy)acetate (III-2),
4-allyloxybutyl (5-chloro-8-quinolinoxy)acetate (III-3),
1-allyloxyprop-2-yl (5-chloro-8-quinolinoxy)acetate (III-4),
25 ethyl (5-chloro-8-quinolinoxy)acetate (III-5),
methyl (5-chloro-8-quinolinoxy)acetate (III-6),
allyl (5-chloro-8-quinolinoxy)acetate (III-7),
2-(2-propylideneiminoxy)-1-ethyl (5-chloro-8-quinolinoxy)acetate (III-8),
2-oxo-prop-1-yl (5-chloro-8-quinolinoxy)acetate (III-9) and related compounds as
30 they are described in EP-A-0 860 750, EP-A-0 094 349 and EP-A-0 191 736 or
EP-A-0 492 366.
- f) Compounds of the (5-chloro-8-quinolin xy)malonic acid typ , i.e. of the formula (III) where (R¹⁹)_n = 5-Cl, R²⁰ = OR²⁴, T = -CH(COO-alkyl)-, by preferenc
35 the compounds diethyl (5-chloro-8-quinolinoxy)malonate, diallyl (5-chloro-8-

quinolinoxy)malonate, methyl ethyl (5-chloro-8-quinolinoxy)malonate and related compounds as they are described in EP-A-0 582 198.

g) Compounds selected from:

- 5 N,N-diallyl-2,2-dichloroacetamide (dichlormid, from US-A 4,137,070),
4-dichloroacetyl-3,4-dihydro-3-methyl-2H-1,4-benzoxazine (benoxacor, from EP 0
149 974),
3-dichloroacetyl-5-(2-furanyl)-2,2-dimethyloxazolidine (furilazole, MON 13900),
4,6-dichloro-2-phenylpyrimidine (fenclorim),
10 benzyl 2-chloro-4-trifluoromethyl-1,3-thiazole-5-carboxylate (flurazole),
N-(4-methylphenyl)-N'-(1-methyl-1-phenylethyl)urea (daimuron),
1-[4-(N-2-methoxybenzoylsulfamoyl)phenyl]-3-methylurea,
1-[4-(N-2-methoxybenzoylsulfamoyl)phenyl]-3,3-dimethylurea,
1-[4-(N-4,5-dimethylbenzoylsulfamoyl)phenyl]-3-methylurea,
15 1-[4-(N-naphthoylsulfamoyl)phenyl]-3,3-dimethylurea,
(2,4-dichlorophenoxy)acetic acid (2,4-D); or
(4-chlorophenoxy)acetic acid,
(R,S)-2-(4-chloro-o-tolyloxy)propionic acid (mecoprop),
4-(2,4-dichlorophenoxy)butyric acid (2,4-DB),
20 (4-chloro-o-tolyloxy)acetic acid (MCPA),
4-(4-chloro-o-tolyloxy)butyric acid,
4-(4-chlorophenoxy)butyric acid,
3,6-dichloro-2-methoxybenzoic acid (dicamba),
1-(ethoxycarbonyl)ethyl 3,6-dichloro-2-methoxybenzoate (lactidichlor),
25 and their salts and esters, by preference (C₁-C₈); or
N-(4-chlorophenyl)maleimide (CMPI),
4-hydroxy-1-methyl-3-(1-1*H*-tetrazol-5-ylmethanoyl)-1*H*-quinolin-2-one,
(S)-1-(1-alpha-methylbenzyl)-3-*p*-tolylurea ((S)-MBU), and
S-1-methyl-1-phenylethyl piperidine-1-carbothioate (dimepiperate).
30 Furthermore preferred as antidotes are compounds of the formula (IV) or salts thereof in which:
R³⁰ is hydrogen; or R³⁰ is (C₁-C₆)-alkyl, (C₃-C₆)-cycloalkyl, furanyl or thieryl, which radicals are unsubstituted or substituted by one or more groups selected from

- halogen, (C_1 - C_4)-alkoxy, halo- $(C_1$ - C_6)-alkoxy and (C_1 - C_4)-alkylthio and, in the case of cyclic radicals, also (C_1 - C_4)-alkyl and (C_1 - C_4)-haloalkyl,
- R^{31} is hydrogen,
- R^{32} is halogen, halo- $(C_1$ - C_4)-alkyl, halo- $(C_1$ - C_4)-alkoxy, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy, (C_1 - C_4)-alkylsulfonyl, (C_1 - C_4)-alkoxycarbonyl or (C_1 - C_4)-alkylcarbonyl, by preference halogen, (C_1 - C_4)-haloalkyl such as trifluoromethyl, (C_1 - C_4)-alkoxy, halo- $(C_1$ - C_4)-alkoxy, (C_1 - C_4)-alkoxycarbonyl or (C_1 - C_4)-alkylsulfonyl,
- R^{33} is hydrogen,
- R^{34} is halogen, (C_1 - C_4)-alkyl, halo- $(C_1$ - C_4)-alkyl, halo- $(C_1$ - C_4)-alkoxy, (C_3 - C_6)-cycloalkyl, phenyl, (C_1 - C_4)-alkoxy, cyano, (C_1 - C_4)-alkylthio, (C_1 - C_4)-alkylsulfonyl, (C_1 - C_4)-alkylsulfonyl, (C_1 - C_4)-alkoxycarbonyl or (C_1 - C_4)-alkylcarbonyl, by preference halogen, (C_1 - C_4)-alkyl, (C_1 - C_4)-haloalkyl such as trifluoromethyl, halo- $(C_1$ - C_4)-alkoxy, (C_1 - C_4)-alkoxy or (C_1 - C_4)-alkylthio,
- n is zero, 1 or 2 and
- t is 1 or 2.

- Furthermore preferred are antidotes of the formula (V) in which
- X^3 is CH;
- R^{35} is hydrogen; or R^{35} is (C_1 - C_6)-alkyl, (C_3 - C_6)-cycloalkyl, (C_2 - C_6)-alkenyl, (C_5 - C_6)-cycloalkenyl, phenyl or 3- to 6-membered heterocyclyl having up to three hetero atoms selected from the group consisting of nitrogen, oxygen and sulfur, which radicals are optionally substituted by one or more groups which may be the same or different selected from halogen, (C_1 - C_6)-alkoxy, (C_1 - C_6)-haloalkoxy, (C_1 - C_2)-alkylsulfonyl, (C_1 - C_2)-alkylsulfonyl, (C_3 - C_6)-cycloalkyl, (C_1 - C_4)-alkoxycarbonyl, (C_1 - C_4)-alkylcarbonyl and phenyl and in the case of cyclic radicals, also (C_1 - C_4)-alkyl and (C_1 - C_4)-haloalkyl;
- R^{36} is hydrogen; or R^{36} is (C_1 - C_6)-alkyl, (C_2 - C_6)-alkenyl, (C_2 - C_6)-alkynyl, which radicals are optionally substituted by one or more groups which may be the same or different selected from halogen, hydroxyl, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy and (C_1 - C_4)-alkylthio;
- R^{37} is halogen, (C_1 - C_4)-haloalkyl, (C_1 - C_4)-haloalkoxy, nitro, (C_1 - C_4)-alkyl, (C_1 - C_4)-alkoxy, (C_1 - C_4)-alkylsulfonyl, (C_1 - C_4)-alkoxycarbonyl or (C_1 - C_4)-alkylcarbonyl;
- R^{38} is hydrogen;

R^{39} is halogen, nitro, $(C_1\text{-}C_4)$ -alkyl, $(C_1\text{-}C_4)$ -haloalkyl, $(C_1\text{-}C_4)$ -haloalkoxy, $(C_3\text{-}C_6)$ -cycloalkyl, phenyl, $(C_1\text{-}C_4)$ -alkoxy, cyano, $(C_1\text{-}C_4)$ -alkylthio, $(C_1\text{-}C_4)$ -alkylsulfinyl, $(C_1\text{-}C_4)$ -alkylsulfonyl, $(C_1\text{-}C_4)$ -alkoxycarbonyl or $(C_1\text{-}C_4)$ -alkylcarbonyl;

s is zero, 1 or 2, and

5 α is 1 or 2.

The following sub-groups are particularly preferred amongst the antidotes of the formula (VI):

- compounds in which R^{48} and R^{49} are H, $(C_1\text{-}C_8)$ -alkyl, phenyl, phenyl- $(C_1\text{-}C_8)$ -alkyl, $(C_1\text{-}C_4)$ -alkoxy- $(C_1\text{-}C_8)$ -alkyl, $(C_3\text{-}C_6)$ -alkenyl or $(C_3\text{-}C_6)$ -alkynyl, it being possible for phenyl rings to be substituted by F, Cl, Br, NO₂, CN, OCH₃, $(C_1\text{-}C_4)$ -alkyl or CH₃-SO₂;
- compounds in which R^a is H;
- compounds in which V is Y-R^b;
- 15 - compounds in which E is oxygen;
- compounds in which Q¹ is CR₂⁴⁷;
- compounds in which R⁴⁷ is hydrogen;
- compounds where m'' = 1 and E is oxygen or sulfur;
- compounds in which m'' = 0;
- 20 - compounds in which R⁴⁰, R⁴¹, R⁴², R⁴³ and R⁴⁴ are in each case hydrogen, E is oxygen, Q¹ is CR₂⁴⁷, V is Y-R^b and m'' = 1, in particular those where R⁴⁷ is H, R^b is CH₃ and Y is oxygen;
- compounds in which Q¹ is CR₂⁴⁷ and m'' is zero, in particular those in which R⁴⁴ and R⁴⁷ are hydrogen and V is Y-R^b, where R^b is by preference methyl and Y is by preference oxygen.

The most especially preferred antidotes are flurazole, which is benzyl 2-chloro-4-trifluoromethyl-1,3-thiazole-5-carboxylate; fenchlorazole-ethyl, which is ethyl 1-(2,4-dichlorophenyl)-5-trichloromethyl-1H-1,2,4-triazole-3-carboxylate; fenchlorazole, which is 1-(2,4-dichlorophenyl)-5-trichloromethyl-1H-1,2,4-triazole-3-carboxylic acid; benoxacor, which is (\pm)-4-dichloroacetyl-3,4-dihydro-3-methyl-2H-1,4-benzoxazine; dichlormid, which is N,N-diallyl-2,2-dichloroacetamide; fenclorim, which is 4,6-dichloro-2-phenylpyrimidine; furilazole, which is (RS)-3-dichloroacetyl-5-(2-furyl)-2,2-dimethyloxazolidine; mef npyr-di thyl, which is diethyl (RS)-1-(2,4-dichlorophenyl)-5-methyl-2-pyrazoline-3,5-dicarboxylate; CMPI, 35 which is N-(4-chlorophenyl)maleimide; 4-hydroxy-1-methyl-3-(1-1H-tetrazol-5-

ylmethanoyl)-1*H*-quinolin-2-one; daimuron, which is 1-(1-methyl-1-phenylethyl)-3-*p*-tolylurea; (S)-MBU, which is (S)-1-(1-alpha-methylbenzyl)-3-*p*-tolylurea; dimepiperate, which is S-1-methyl-1-phenylethyl piperidine-1-carbothioate; 5,5-diphenyloxazoline-3-carboxylic acid; and ethyl 5,5-diphenyloxazoline-3-carboxylate (most preferably fenchlorazole; CMPI; 4-hydroxy-1-methyl-3-(1-1*H*-tetrazol-5-ylmethanoyl)-1*H*-quinolin-2-one; (S)-MBU and dimepiperate).

The mixtures of the invention may be used to obtain selective weed control with low crop injury in various crop plants such as maize, soybean, cotton, canola, sugar beet, potatoes, wheat, tobacco, rice and oil seed rape. Preferred crops include maize, sugar beet, cotton and canola. Particularly preferred crop species are maize and soybean, especially maize.

Effective weed control coupled with low crop injury is a result of treatment of a plant locus with a combination of a herbicidal benzoyloxazole and/or dione derivative and an antidote compound in accordance with the method of the present invention. By application to the 'plant locus' is meant application, for example to the plant growing medium, such as soil, as well as to the seeds, emerging seedlings, roots, stems, leaves or other plant parts.

The phrase 'combination of a herbicidal isoxazole and/or dione derivative and an antidote compound' includes various methods of treatment. For example, the soil of a plant locus may be treated with a "tank-mix" composition containing a mixture of the herbicide and the antidote which is "in combination", or the soil may be treated with the herbicide and antidote compounds separately so that the "combination" may be made on, or in the soil. After such treatments of the soil with a mixture of herbicide and antidote or by separate or sequential application of the herbicide and the antidote to the soil, the herbicide and antidote may be mixed into or incorporated into the soil either by mechanical mixing of the soil with implements or by "watering in" by rainfall or irrigation. The soil of a plant locus may also be treated with antidote by application of the antidote in a dispersible-concentrate form such as a granule. The granule may be applied to a furrow which is prepared for receipt of the crop seed and the herbicide may be applied to the plant locus either before or after in-furrow placement of the antidote-containing granule so that the herbicide and antidote form a "combination". Crop seed may be treated or coated with the antidote compound either while the crop seed is in-furrow just after sowing or, more commonly, the

crop seed may be treated or coated with antidote prior to seeding into a furrow.

The herbicide may be applied to the soil plant locus before or after seeding and a "combination" is made when both herbicide and an antidote-coated seed are in the soil.

- 5 In a preferred method of the invention (a) the herbicidal benzoylisoxazole and/or dione derivative and (b) antidote are applied separately such that the antidote contacts the seed or plant being treated before the herbicidal compound. Separate application can be achieved, for example, by dressing seed with antidote. The seed may also be treated, for example, at the time of planting, for example by 10 applying antidote to seed in a seed furrow or to growing medium (for example soil) which then covers the seed. The herbicidal compound may then be applied to the surface of the growing medium (for example soil) or to a layer of soil above the layer containing antidote.

Apparatus suitable for planting seed, for example in a furrow and applying antidote 15 to a layer of soil to cover the seed is generally known in the art. Herbicide may then be applied to the soil surface, for example by spraying a fluid formulation or distributing a solid formulation.

Separate application can also be achieved, for example, by applying the herbicidal compound and antidote simultaneously, preferably in a single composition, which 20 composition permits contact of the antidote with the seed or plant before contact with the herbicidal compound.

For example the composition may comprise a delayed release formulation of the herbicidal compound, for example the antidote in the composition is released substantially immediately and before delayed release of the herbicidal compound.

25 In one embodiment the method of the invention is preferably performed by applying the antidote directly to the seed before planting. This is generally effected by coating a quantity of crop seed with the antidote and thereafter planting the coated seed.

In a further preferred embodiment of the method of the invention, the antidotes are 30 selected from fenchlorazole; CMPI; 4-hydroxy-1-methyl-3-(1-1H-tetrazol-5-ylmethanoyl)-1H-quinolin-2-one; (S)-MBU and dimepiperate.

The amount of a particular benzoylisoxazole and/or dione herbicide to be applied to the plant locus or crop-growing area will depend upon the nature of the weeds, the particular herbicide used, the time of application, the climate and the nature of the 35 crop. Application rates of from about 0.004kg⁻¹ to 5kg⁻¹ herbicide are

generally suitable, with a rate of about $0.01\text{kg}\text{ha}^{-1}$ to $2\text{kg}\text{ha}^{-1}$ being preferred, and with a rate of $0.005\text{kg}\text{ha}^{-1}$ to $0.3\text{kg}\text{ha}^{-1}$ being more preferred.

The amount of antidote used in the method of the invention varies according to a number of parameters including the particular antidote employed, the crop to be protected, the amount and rate of herbicide applied, and the edaphic and climatic conditions prevailing. Also, the selection of the specific antidotes for use in the method of the invention, the manner in which it is to be applied and the determination of the activity which is non-phytotoxic but antidotally effective, can be readily performed in accordance with common practice in the art.

10 The antidote is applied in combination with the herbicide in a non-phytotoxic antidotally effective amount. By "non-phytotoxic" is meant an amount of the antidote which causes at most minor or no injury to the desired crop species. By "antidotally-effective" is meant an antidote used in an amount which is effective as an antidote with the herbicide to decrease the extent of injury caused by the 15 herbicide to the desired crop species.

The herbicide/safener combination according to the invention may also be employed for controlling harmful plants in crops of genetically engineered plants which are either known or still to be developed. As a rule, the transgenic plants are distinguished by particular, advantageous properties, for example by resistances to 20 certain crop protection agents, resistances to plant diseases or pathogens causing plant diseases such as particular insects or microorganisms such as fungi, bacteria or viruses. Other particular properties relate for example, to the harvested material in terms of quantity, quality, storing properties, composition and specific 25 constituents. Thus, transgenic plants are known which have an increased starch content or an altered starch quality, or those where the harvested material has a different fatty acid composition.

The use of the combinations according to the invention in economically important transgenic crops of useful plants and ornamentals, for example cereals such as wheat, barley, rye, oats, panic grasses, rice, cassava and maize or else crops of 30 sugar beet, cotton, soya, oilseed rape, potatoes, tomatoes, peas and other types of vegetables.

When the combinations according to the invention are applied in transgenic crops, effects on harmful plants to be observed in other crops are frequently accompanied 35 by effects which are specific for application in the transgenic crop in question, for

example an altered or specifically widened weed spectrum which can be controlled, altered application rates which may be used, preferably good compatibility with the herbicides to which the transgenic crop is resistant, and altered growth and yield of the transgenic crop plants.

- 5 The invention therefore also relates to the use of the combination according to the invention for controlling harmful plants in transgenic crop plants.

The following non-limiting examples illustrate the invention wherein Antidote A is ethyl 5,5-diphenyloxazoline-3-carboxylate and Antidote B is 5,5-

- 10 diphenyloxazoline-3-carboxylic acid.

Example 1

Maize seeds were sown in non-sterile loam and safener, dissolved in acetone was applied to the soil surface. After 30 minutes a treatment of herbicide, Compound

- 15 A [5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl) isoxazole] was applied to the treated soil.

A visual assessment of the percentage phytotoxicity (measured as a reduction in green plant matter or plant height) compared to an untreated control was made 14 days after treatment (DAT).

- 20 Maize seeds were sown in non-sterile loam and grown up to a 1.5 -2 leaf stage. Antidote, dissolved in acetone, was applied post-emergence to the soil surface. After 30 minutes a treatment of herbicide, Compound A [5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl) isoxazole] was applied to the treated soil.

- 25 A visual assessment of the percentage phytotoxicity compared with an untreated control was made 14 DAT.

Pre-emergence activity of Compound A on maize in the presence of antidotes.

	<u>g/ha of antidote</u>	<u>% phytotoxicity</u>
Cpd A	63	15
Cpd A + mefenpyr-diethyl	63	8.75
Cpd A + fenchlorazole-ethyl	63	11.3
Cpd A + Antidote A	63	11.3
Cpd A + Antidote B	63	8.75

Post-emergence activity of Compound A (63g/ha) on maize in the presence of antidotes.

	<u>g/ha of antidote</u>	<u>% phytotoxicity</u>
Cpd A	63	27.5
Cpd A + mefenpyr-diethyl	63	25
Cpd A + fenchlorazole-ethyl	63	27.5
Cpd A + Antidote A	63	5
Cpd A + Antidote B	63	5

5

Post-emergence activity of Compound A (125g/ha) on maize in the presence of antidotes.

	<u>g/h of antidote</u>	<u>% phytotoxicity</u>
Cpd A	125	43
Cpd A + Antidote A	31	15
Cpd A + Antidote A	63	10
Cpd A + Antidote A	125	15
Cpd A + Antidote B	31	19
Cpd A + Antidote B	63	18
Cpd A + Antidote B	125	25

According to a further feature of the present invention, there are provided herbicidal compositions comprising:

- (a) a herbicidally effective amount of a benzoylisoxazole and/or dione derivative of formula (I) or an agriculturally acceptable salt or metal complex thereof; and
- (b) an antidotally effective amount of an antidote compound or an agriculturally acceptable salt thereof;
- 5 in association with, and preferably homogeneously dispersed in, one or more compatible herbicidally- acceptable diluents or carriers and/or surface-active agents (i.e. diluents or carriers or surface-active agents of the type generally acceptable in the art as being suitable for use in herbicidal compositions and which are compatible with the herbicides of the present invention). In preferred compositions
- 10 the antidote contacts the seed or plant being treated before the herbicidal compound. For example, the herbicidal compound may be in a delayed release composition. The term "homogeneously dispersed" is used to include compositions in which the benzoylisoxazole and/or dione of formula (I) and antidote are dissolved in the other components. The term "herbicidal composition" is used in a broad sense to include not only compositions which are ready for use as herbicides but also concentrates which must be diluted before use.
- 15 The ratio of herbicide to antidote may vary depending upon the crop to be protected, weed to be inhibited, herbicide used, etc., but normally an herbicide-to-antidote ratio ranging from 1:25 to 60:1 parts by weight may be employed, although much higher rates of antidote may be used, e.g., 1:100 to 1:300 parts by weight of herbicide to-antidote. The preferred weight ratio of herbicide-to-antidote is from 1:10 to 30:1. Another preferred weight range ratio is from 1:1 to 20:1, with an even more preferred weight ratio range from 2:1 to 15:1.
- 20 Preferably, the compositions contain from 0.05 to 90% by weight of benzoylisoxazole and/or dione of formula (I) and antidote.
- 25 The herbicidal composition may contain solid and liquid carriers and surface-active agents (e.g. wetters, dispersants or emulsifiers alone or in combination). Surface-active agents that may be present in the herbicidal compositions of the present invention may be of the ionic or non-ionic types, for example sulphorcinoleates, quaternary ammonium derivatives, products based on condensates of ethylene oxide with nonyl- or octyl-phenols, or carboxylic acid esters of anhydrosorbitols which have been rendered soluble by etherification of the free hydroxy groups by condensation with ethylene oxide, alkali and alkaline earth metal salts of sulphuric acid esters and sulphonics acids such as dinonyl- and dioctyl-sodium sulphono-
- 30
- 35

succinates and alkali and alkaline earth metal salts of high molecular weight sulphonic acid derivatives such as sodium and calcium lignosulphonates. Examples of suitable solid diluents or carriers are aluminium silicate, talc, calcined magnesia, kieselguhr, tricalcium phosphate, powdered cork, absorbent carbon black and clays such as kaolin and bentonite. Examples of suitable liquid diluents include water, acetophenone, cyclohexanone, isophorone, toluene, xylene, and mineral, animal, and vegetable oils (these diluents may be used alone or in combination).

Herbicidal compositions according to the present invention may also contain, if desired, conventional adjuvants such as adhesives, protective colloids, thickeners, penetrating agents, stabilisers, sequestering agents, anti-caking agents, colouring agents and corrosion inhibitors. These adjuvants may also serve as carriers or diluents.

Granular formulations may be prepared by absorbing the compounds of the present invention (dissolved in suitable solvents, which may, if desired, be volatile) onto the solid diluents or carriers in granular form and, if desired, evaporating the solvents, or by granulating compositions in powder form obtained as described above.

Powders, dispersible granules and liquid compositions in the form of concentrates may be diluted with water or other suitable diluents, for example mineral or vegetable oils, particularly in the case of liquid concentrates in which the diluent or carrier is an oil, to give compositions ready for use.

The wettable powders (or powders for spraying) usually contain from 20 to 95% of combination, and they usually contain, in addition to the solid vehicle, from 0 to 5% of a wetting agent, from 3 to 10% of a dispersant agent and if necessary, 25 from 0 to 10% of one or more stabilisers and/or other additives such as penetrating agents, adhesives or anti-caking agents and colourings.

The aqueous suspension concentrates, which are applicable by spraying, are prepared in such a way as to obtain a stable fluid product (by fine grinding) which does not settle out and they usually contain from 10 to 75% of combination, from 30 0.5 to 15% of surface acting agents, from 0.1 to 10% of thixotropic agents, from 0 to 10% of suitable additives such as antifoams, corrosion inhibitors, stabilisers, and water or an organic liquid in which the active substance is sparingly soluble or insoluble. Some organic solid substances or inorganic salts can be dissolved in order to assist in preventing sedimentation or as antifreeze for the water.

Application of the herbicide, antidote, or mixture thereof, can be carried out by conventional techniques utilizing, for example, hand-carried or tractor-mounted spreaders, power dusters, boom and hand sprayers, spray dusters, and granular applicators. If desired, application of the compositions of the invention to plants
5 can be accomplished by incorporating the compositions in the soil or other media. Herbicidal compositions according to the present invention may also comprise (a) and (b) in association with, and preferably homogeneously dispersed in, one or more other pesticidally active compounds and, if desired one or more compatible pesticidally acceptable diluents and carriers. Examples of other pesticidally active
10 ingredients include fungicides, insecticides, plant growth regulators and, most preferably, herbicides.

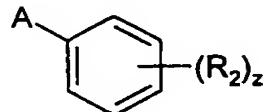
The optional partner herbicides which may be combined with the derivatives of formula (I) and antidote are preferably selected from chloroacetamides (e.g. metolachlor, acetochlor, alachlor), sulfonylureas, thiocarbamates,
15 dithiocarbamates, metribuzin, sulfentrazone, flumetsulam, clorasulam-methyl, oxasulfuron, flumiclorac, bentazon, chlorimuron, linuron, clomazone, dimethenamid, pendimethalin, trifluralin, clethodim and acifluorfen, bifenox, diflufenican, diuron, atrazine and ametryne.

According to a further feature of the present invention there is provided a product
20 comprising:

- (a) a herbicidally effective amount of a benzoylisoxazole and/or dione derivative of formula (I), or an agriculturally acceptable salt or metal complex thereof; and
 - (b) an antidotally effective amount of an antidote compound or an agriculturally acceptable salt thereof;
- 25 as a combined preparation for separate, simultaneous or sequential use in the control of weeds at a crop locus, the antidote preferably contacting the seed or plant before the herbicidal compound.

CLAIMS

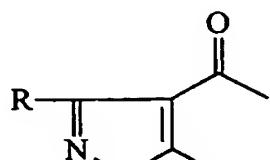
1. A method of reducing phytotoxicity to a crop (especially maize) at a locus caused by the application thereto of a herbicidal benzoylisoxazole and/or dione derivative of formula (I):



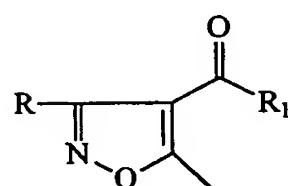
(I)

wherein:

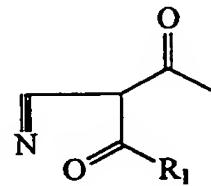
A is a group (A-1) to (A-7):



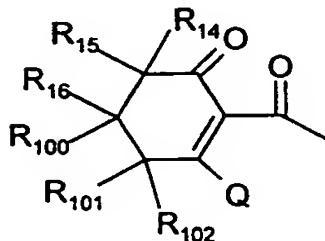
(A-1)



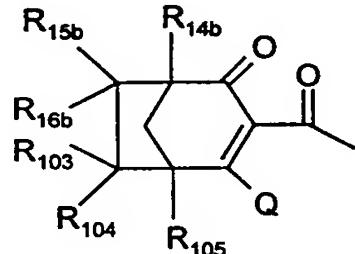
(A-2)



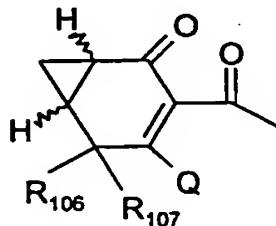
(A-3)



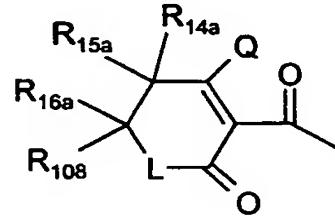
(A-4)



(A-5)



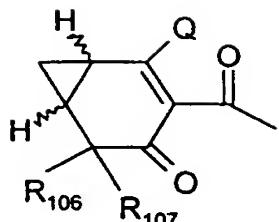
(A-6)



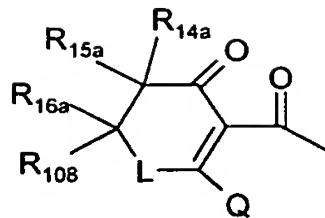
(A-7)

or a corresponding formula (A-6a) or (A-7a):

15



(A-6a)



(A-7a)

in which the position of the carbonyl group and the group Q are reversed and the double bond in the ring is attached to the carbon atom attached to the group Q;

- 5 R is a hydrogen atom or a halogen atom; a straight- or branched chain alkyl, alkenyl or alkynyl group containing from one to six carbon atoms which is optionally substituted by one or more halogen atoms; a cycloalkyl group containing from 3 to 6 carbon atoms optionally substituted by one or more groups R⁵, one or more halogen atoms or a group -CO₂R³; or a group selected from -CO₂R³, -COR⁵,
- 10 cyano, nitro, -CONR³R⁴ and -S(O)_kR¹³;
- 15 R¹ is a straight- or branched-chain alkyl, alkenyl or alkynyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms; or a cycloalkyl group containing from three to six carbon atoms optionally substituted by one or more groups R⁵ or one or more halogen atoms;
- 20 R² is a halogen atom; a straight- or branched-chain alkyl, alkenyl or alkynyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms; a straight- or branched-chain alkyl group containing up to six carbon atoms which is substituted by one or more groups -OR⁵; or a group selected from nitro, cyano, -CO₂R⁵, -S(O)_pR⁶, -O(CH₂)_mOR⁵, -COR⁵, -NR¹¹R¹², -N(R⁸)SO₂R⁷, -N(R⁸)CO₂R⁷, -OR⁵, -OSO₂R⁷, -SO₂NR³R⁴, -CONR³R⁴, -CSNR³R⁴, -(CR⁹R¹⁰)_y-S(O)_qR⁷ and -SF₅;
- 25 or two groups R², on adjacent carbon atoms of the phenyl ring may, together with the carbon atoms to which they are attached, form a 5 to 7 membered saturated or unsaturated heterocyclic ring containing up to three ring heteroatoms selected from nitrogen, oxygen and sulfur, which ring is optionally substituted by one or more groups selected from halogen, nitro, -S(O)_pR¹³, C₁₋₄ alkyl, C₁₋₄ alkoxy, C₁₋₄ haloalkyl, C₁₋₄ haloalkoxy, =O (or a 5- or 6-membered cyclic acetal thereof),

and =NO-R³, it being understood that a sulphur atom, where present in the ring, may be in the form of a group -SO- or -SO₂-;

z is an integer from one to five: when z is greater than one the groups R² may be the same or different;

5 R³, R⁴ and R¹⁰⁹ are each independently a hydrogen atom, or a straight- or branched chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms;

R⁵ and R¹¹⁰ are each independently a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more

10 halogen atoms or a straight- or branched-chain alkenyl or alkynyl group containing from two to six (preferably from three to six) carbon atoms which is optionally substituted by one or more halogen atoms;

R⁶ and R⁷, which may be the same or different, are each R⁵; or phenyl optionally substituted by from one to five groups which may be the same or different

15 selected from a halogen atom, a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms, nitro, cyano, -CO₂R⁵, -S(O)_pR¹³, -NR¹¹NR¹², -OR⁵ and -CONR³R⁴;

R⁸, R⁹ and R¹⁰ are each a hydrogen atom or R⁶;

R¹¹ and R¹² are each a hydrogen atom or R⁵;

20 R¹³ and R¹¹¹ are each a straight- or branched-chain alkyl group containing up to six carbon atoms which is optionally substituted by one or more halogen atoms;

Q is hydroxy, C1-6 alkoxy, OR¹¹², SR¹¹² or SR¹¹¹;

L is oxygen or NR¹⁰⁹;

R¹⁴, R^{14a}, R^{14b}, R¹⁵, R^{15a}, R^{15b}, R¹⁶, R^{16a}, R^{16b}, R¹⁰⁰, R¹⁰¹, R¹⁰², R¹⁰³,

25 R¹⁰⁴, R¹⁰⁵, R¹⁰⁶, R¹⁰⁷ and R¹⁰⁸ are each the same or different groups selected from hydrogen, R¹¹⁰, -(CH₂)_uCO₂R¹⁰⁹, halogen, cyano, C1-6 alkoxy, -(CH₂)_x-[phenyl optionally substituted by from one to five groups R¹¹³ which may be the same or different], and cycloalkyl containing from three to six carbon atoms optionally substituted by C1-6 alkyl or -S(O)_pR¹¹¹;

30 R¹¹² is phenyl optionally substituted by from n to five groups selected from halogen, C1-6 alkyl, C1-6 haloalkyl, C1-6 alkoxy and nitro;

R¹¹³ is a group selected from halogen, R¹¹⁴, nitro, cyano, -CO₂R¹¹⁵, -S(O)_pR¹¹¹, -OR¹¹¹ and -NR¹¹⁵R¹¹⁶;

R¹¹⁴ is a straight- or branched- chain alkyl group containing one to three carbon atoms optionally substituted by one or more halogen atoms;

5 R¹¹⁵ and R¹¹⁶ which may be the same or different, are each a hydrogen atom or R¹¹⁰;

p, q and u are each independently zero, one or two;

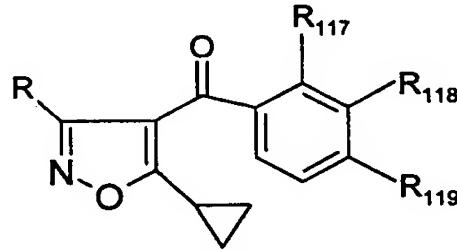
k and m are each one, two or three;

x is zero or one;

10 y is an integer from one to four; when y is greater than one, the groups R⁹ and R¹⁰ may be the same or different;

or an agriculturally acceptable salt or metal complex thereof; which method comprises applying to the locus of the crop, preferably before the herbicidal compound, an antidotally effective amount of an antidote compound, and optional 15 partner herbicide.

2. A method according to claim 1 in which the isoxazole or dione herbicide has the general formula (Ia):



20

are those wherein:

R is hydrogen or -CO₂Et;

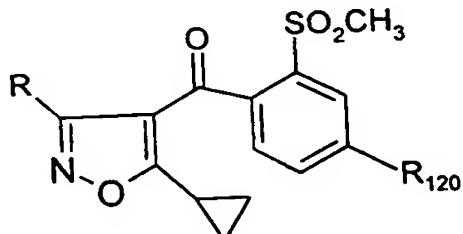
R¹¹⁷ is selected from -S(O)_pMe, Me, Et, a chlorine, bromine or fluorine atom, methoxy, ethoxy and -CH₂S(O)_qMe;

25 R¹¹⁸ is selected from a hydrogen atom, a chlorine, bromine or fluorine atom, methoxy, ethoxy and -S(O)_pMe;

R¹¹⁹ is selected from a hydrogen atom, a chlorine, bromine or fluorine atom, methoxy and CF₃; and

p and *q* each independently have the values zero, one or two.

3. A method according to claim 1 or 2 in which the isoxazole or dione herbicide has the general formula (Ib):

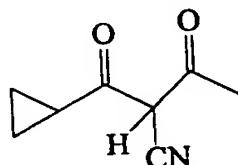


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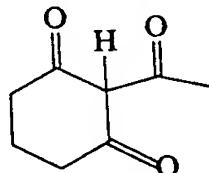
(Ib)

wherein R¹²⁰ is chlorine, bromine or trifluoromethyl; and
R is hydrogen or -CO₂Et.

4. A method according to any one of the preceding claims in which a substituted phenyl ring as defined in formulae (I); (Ia); or (Ib) as depicted in claim 1, 2 or 3 is attached to a grouping;



5. A method according to claim 4 in which the phenyl ring is substituted by two groups independently selected from halogen, alkyl, S(O)_palkyl (*p* = 0, 1 or 2) or haloalkyl.
- 15 6. A method according to claim 1, 2 or 3 in which a substituted phenyl ring as defined above in formula (I); (Ia); or (Ib) is attached to a grouping;



7. A method according to claim 1 wherein the compound of formula (I) is:
- 20 5-cyclopropyl-4-[2-chloro-3-ethoxy-4-(ethylsulphonyl)benzoyl]isoxazole;
4-(4-chloro-2-methylsulphonylbenzoyl)-5-cyclopropylisoxazole;
5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole;
4-(4-bromo-2-methylsulphonylbenzoyl)-5-cyclopropylisoxazole;
5-cyclopropyl-4-[4-fluoro-3-methoxy-2-(methylsulphonyl)benzoyl]isoxazole;

- 4-(4-bromo-2-methylsulphonylmethylbenzoyl)-5-cyclopropylisoxazole; ethyl 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl) isoxazole-3-carboxylate; 2-[2-chloro-(4-methylsulphonyl)benzoyl]-1,3-cyclohexanedione; 5 2-[2-nitro-(4-methylsulphonyl)benzoyl]-1,3-cyclohexanedione; 2-(2,3-dihydro-5,8-dimethyl-1,1-dioxospiro[4H-1-benzothiin-4,2' [1,3]dioxolan]-6-ylcarbonyl)cyclohexane-1,3-dione; 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)-3-methylthio-isoxazole; and
- 10 2-cyano-3-cyclopropyl-1-(2-methylsulphonyl-4-trifluoromethylphenyl) propan-1,3-dione.
8. A method according to claim 7 in which the compound is 5-cyclopropyl-4-(2-methylsulphonyl-4-trifluoromethylbenzoyl)isoxazole or 2-[2-nitro-(4-methylsulphonyl)benzoyl]-1,3-cyclohexanedione.
- 15 9. A method according to claim 1 in which the antidote is selected from: flurazole; fenchlorazole-ethyl; fenchlorazole; benoxacor; dichlormid; fenclorim; furilazole; mefenpyr-diethyl; CMPI; 4-hydroxy-1-methyl-3-(1-1*H*-tetrazol-5-ylmethanoyl)-1*H*-quinolin-2-one; daimuron; (S)-MBU; dimepiperate; 5,5-diphenylisoxazoline-3-carboxylic acid; and ethyl 5,5-diphenylisoxazoline-3-carboxylate.
- 20 10. A method according to claim 1 in which the antidote is selected from fenchlorazole; CMPI; 4-hydroxy-1-methyl-3-(1-1*H*-tetrazol-5-ylmethanoyl)-1*H*-quinolin-2-one; (S)-MBU and dimepiperate.
11. A method according to any one of the preceding claims in which the crop 25 plant to be protected is maize.
12. A method according to claim 1 in which the application rate of the benzoylisoxazole and/or dione of formula (I) is from 0.004kg to 5kg per hectare.
13. A method according to claim 1 in which the application rate of the benzoylisoxazole and/or dione of formula (I) is from 0.01kg to 2kg per hectare.
- 30 14. A method according to any one of the preceding claims in which (a) the herbicidal benzoylisoxazole and/or dione derivative and (b) antidote are applied separately such that the antidote contacts the seed or plant being treated before the herbicidal compound.
15. A herbicidal composition comprising:

- (a) a herbicidally effective amount of a benzoylisoxazole and/or dione derivative of formula (I) or an agriculturally acceptable salt or metal complex thereof, optionally in combination with a partner herbicide; and
 - (b) an antidotally effective amount of an antidote compound;
- 5 in association with a herbicidally acceptable diluent or carrier and/or surface active agent.
16. A composition according to claim 15 which comprises the component (a) as a delayed release formulation.
17. A composition according to claim 15 or 16 in which the weight ratio of the 10 compound of formula (I):antidote is from 1:25 to 60:1.
18. A product comprising:
- (a) a herbicidally effective amount of a benzoylisoxazole and/or dione derivative of formula (I), or an agriculturally acceptable salt or metal complex thereof; and
 - (b) an antidotally effective amount of an antidote;
- 15 wherein said antidote is antidotally effective to said benzoylisoxazole and/or dione derivative;
- as a combined preparation for separate, simultaneous or sequential use in the control of weeds at a locus.
19. A product according to claim 18 as a combined preparation for use in which 20 the antidote contacts the seed or plant being treated before the herbicidal compound.
20. A method according to claim 1 substantially as hereinbefore described.
21. A composition according to claim 15 substantially as hereinbefore described.
- 25 22. A product according to claim 18 substantially as hereinbefore described.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 00/09339

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A01N43/80 A01N41/10 A01N43/90 // (A01N43/80, 43:80, 43:653, 43:56, 25:32), (A01N41/10, 43:80, 43:653, 43:56, 25:32), (A01N43/90, 43:80, 43:653, 43:56, 25:32)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, PAJ, EPO-Internal, CHEM ABS Data, CAB Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	K.E. PALLETT ET AL.: "Inhibition of 4-Hydroxyphenylpyruvate Dioxygenase: the Mode of Action of the Herbicide RPA 201772 (Isoxaflutole)" PESTICIDE SCIENCE., vol. 50, 1997, pages 83-84, XP002157968 ELSEVIER APPLIED SCIENCE PUBLISHER. BARKING., GB ISSN: 0031-613X the whole document ---	1-22
Y	BOEGER P: "MODE OF ACTION OF HERBICIDES AFFECTING CAROTENOGENESIS" JOURNAL OF PESTICIDE SCIENCE, JP, PESTICIDE SCIENCE SOCIETY, TOKYO, vol. 21, 1996, pages 473-478, XP000901310 ISSN: 0916-9962 page 475; table 3 ---	1-22 -/-

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

T later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

X document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

Y document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

& document member of the same patent family

Date of the actual completion of the international search

Date of mailing of the international search report

19 January 2001

02/02/2001

Name and mailing address of the ISA

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Authorized officer

Lamers, W

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 00/09339

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 551 650 A (HOECHST AG) 21 July 1993 (1993-07-21) page 3, line 1 -page 8, line 42 page 17, line 57 -page 18, line 54 page 19, line 9 - line 18	1,6-22
Y	---	1-22
Y	US 5 516 750 A (WILLMS LOTHAR ET AL) 14 May 1996 (1996-05-14) column 1, line 54 -column 5, line 20 column 8, line 63 column 10, line 25 - line 26 column 14, line 2 - line 8	1-22
X	US 5 627 131 A (SHRIBBS JOHN M ET AL) 6 May 1997 (1997-05-06) column 2, line 18 - line 54 column 7, line 25 -column 8, line 49	1-5,7-9, 11-22
Y	---	6
X	DATABASE CHEMABS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; C.L. SPRAGUE ET AL.: "Weed control and Zea mays tolerance as affected by timing of RP-201772 application" retrieved from STN-INTERNATIONAL, accession no. 131:318890 CA XP002157969 abstract & WEED SCI., vol. 47, no. 4, 1999, pages 375-382,	1-5,7-9, 11-22
X	WO 98 13361 A (SZCZEPANSKI HENRY ;CIBA GEIGY AG (CH); TOBLER HANS (CH); FOERY WER) 2 April 1998 (1998-04-02) page 1 -page 3 page 34, paragraph 5 page 39	1-5,7,8, 11-22
X	WO 97 34485 A (CIBA GEIGY AG ;RUEEGG WILLY (CH)) 25 September 1997 (1997-09-25) page 2, paragraph 3 -page 3, paragraph 2 page 4, paragraph 4	1-5,7-9, 11-22
X	EP 0 298 680 A (ICI AMERICA INC) 11 January 1989 (1989-01-11) page 3, line 43 -page 21, line 34	1,6-9, 11-22
X	DE 42 16 880 A (HOECHST AG) 25 November 1993 (1993-11-25) page 2, line 1 - line 47 page 3, line 64 -page 4, line 9 page 9, line 15 - line 18	1,6-22
	-/-	

INTERNATIONAL SEARCH REPORT

Intern. Appl. No.
PCT/EP 00/09339

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P,X	WO 00 30447 A (BIERINGER HERMANN ;WILLMS LOTHAR (DE); HACKER ERWIN (DE); ZIEMER F) 2 June 2000 (2000-06-02) page 1 -page 15 ---	1-22
P,X	WO 99 66795 A (HOECHST SCHERING AGREVO GMBH) 29 December 1999 (1999-12-29) page 1 -page 16 ---	1-22
P,X	WO 00 00031 A (NOVARTIS ERFIND VERWALT GMBH ;NOVARTIS AG (CH); RUEEGG WILLY (CH)) 6 January 2000 (2000-01-06) page 1 -page 2 page 23, paragraph 2 -page 26 ---	1-22
P,X	WO 00 00029 A (NOVARTIS ERFIND VERWALT GMBH ;NOVARTIS AG (CH); RUEEGG WILLY (CH)) 6 January 2000 (2000-01-06) page 1 page 24 -page 27 ---	1-22
P,X	SPRAGUE C L ET AL: "ENHANCING THE MARGIN OF SELECTIVITY OF RPA 201772 IN ZEA MAYS WITH ANTIDOTES" WEED SCIENCE, US, WEED SCIENCE SOCIETY OF AMERICA, CHAMPAIGN, IL, vol. 47, no. 5, 1999, pages 492-497, XP000901419 ISSN: 0043-1745 the whole document -----	1-22

INTERNATIONAL SEARCH REPORT

Information on patent family members

Interr. Application No.

PCT/EP 00/09339

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0551650	A 21-07-1993	AU 662581 B AU 3047792 A CA 2086491 A DE 59209757 D EP 0943240 A JP 5279204 A US 5441922 A	07-09-1995 08-07-1993 01-07-1993 18-11-1999 22-09-1999 26-10-1993 15-08-1995
US 5516750	A 14-05-1996	DE 4331448 A AU 701332 B AU 7695894 A BR 9407634 A CA 2171974 A CN 1133038 A CZ 9600806 A WO 9507897 A EP 0719261 A HU 74121 A IL 110966 A JP 9504007 T PL 313478 A ZA 9407120 A	23-03-1995 28-01-1999 03-04-1995 28-01-1997 23-03-1995 09-10-1996 12-06-1996 23-03-1995 03-07-1996 28-11-1996 20-06-1999 22-04-1997 08-07-1996 02-05-1995
US 5627131	A 06-05-1997	AP 680 A AT 191829 T AU 702142 B AU 4312996 A BG 101635 A BR 9606891 A CA 2209937 A CN 1168083 A CZ 9702068 A DE 69607827 D DE 69607827 T EA 62 B EP 0802732 A ES 2147360 T WO 9621357 A GR 3033303 T HU 9800117 A, B JP 10505099 T NZ 297874 A PL 321266 A PT 802732 T SI 802732 T SK 90997 A ZA 9600067 A	30-09-1998 15-05-2000 11-02-1999 31-07-1996 31-08-1998 27-04-1999 18-07-1996 17-12-1997 13-05-1998 25-05-2000 12-10-2000 30-04-1998 29-10-1997 01-09-2000 18-07-1996 29-09-2000 28-04-1998 19-05-1998 26-08-1998 24-11-1997 31-07-2000 31-08-2000 05-08-1998 18-07-1996
WO 9813361	A 02-04-1998	AU 4778097 A EP 0929543 A	17-04-1998 21-07-1999
WO 9734485	A 25-09-1997	AU 715538 B AU 1880297 A BR 9708069 A CA 2243696 A CN 1213272 A EP 0888057 A JP 2000506536 T	03-02-2000 10-10-1997 27-07-1999 25-09-1997 07-04-1999 07-01-1999 30-05-2000

INTERNATIONAL SEARCH REPORT

Information on patent family members

Internatinal Application No

PCT/EP 00/09339

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO 9734485 A		PL 328661 A		15-02-1999
		US 6063732 A		16-05-2000
		ZA 9702224 A		30-07-1998
EP 0298680 A	11-01-1989	US 4938796 A		03-07-1990
		AT 94339 T		15-10-1993
		AU 604336 B		13-12-1990
		AU 1867088 A		19-01-1989
		BG 60301 B		27-05-1994
		BR 8803350 A		17-01-1989
		CA 1337158 A		03-10-1995
		CN 1034300 A,B		02-08-1989
		CZ 8804882 A		13-10-1999
		DE 3884076 D		21-10-1993
		DE 3884076 T		10-02-1994
		DK 376488 A		07-01-1989
		EG 18668 A		30-12-1993
		ES 2059521 T		16-11-1994
		HU 51218 A		28-04-1990
		HU 205890 B		28-07-1992
		IE 61677 B		16-11-1994
		IL 86996 A		12-04-1994
		JP 1117803 A		10-05-1989
		JP 2896146 B		31-05-1999
		KR 9616186 B		06-12-1996
		MX 168198 B		11-05-1993
		NZ 225297 A		26-02-1991
		PH 25483 A		24-07-1991
		PL 273557 A		20-03-1989
		PT 87912 A,B		30-06-1989
		RO 101825 B		24-03-1992
		SK 488288 A		12-07-1999
		TR 24112 A		22-03-1991
		ZA 8804763 A		29-08-1990
		ZW 8988 A		14-03-1990
DE 4216880 A	25-11-1993	CN 1078852 A		01-12-1993
		IT 1265082 B		30-10-1996
		JP 6128106 A		10-05-1994
WO 0030447 A	02-06-2000	DE 19853827 A		25-05-2000
		AU 1380000 A		13-06-2000
WO 9966795 A	29-12-1999	DE 19827855 A		30-12-1999
		AU 4510499 A		10-01-2000
WO 0000031 A	06-01-2000	AU 4776899 A		17-01-2000
WO 0000029 A	06-01-2000	AU 4900699 A		17-01-2000

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PATENT COOPERATION TREATY

PCT

17 DEC 2001

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

12

Applicant's or agent's file reference PH 99052G2	FOR FURTHER ACTION	See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/EP00/09339	International filing date (day/month/year) 08/09/2000	Priority date (day/month/year) 08/09/1999
International Patent Classification (IPC) or national classification and IPC A01N43/80		
<p>Applicant AVENTIS CROPSCIENCE UK LIMITED et al.</p> <p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 10 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of sheets.</p> <p>3. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> I <input checked="" type="checkbox"/> Basis of the report II <input type="checkbox"/> Priority III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability IV <input type="checkbox"/> Lack of unity of invention V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement VI <input checked="" type="checkbox"/> Certain documents cited VII <input checked="" type="checkbox"/> Certain defects in the international application VIII <input checked="" type="checkbox"/> Certain observations on the international application 		

Date of submission of the demand 09/03/2001	Date of completion of this report 13.12.2001
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Masson, J-P Telephone No. +49 89 2399 8728



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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/09339

I. Basis of the report

1. With regard to the **elements** of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):
Description, pages:

1-35 as originally filed

Claims, No.:

1-22 as originally filed

2. With regard to the **language**, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.:
- the drawings, sheets:

5. This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP00/09339

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- the entire international application.
 - claims Nos. 20-22.

because:

- the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (*specify*):
 - the description, claims or drawings (*indicate particular elements below*) or said claims Nos. 20-22 are so unclear that no meaningful opinion could be formed (*specify*):
see separate sheet
 - the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
 - no international search report has been established for the said claims Nos. .
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:
- the written form has not been furnished or does not comply with the standard.
 - the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims
	No: Claims 1-19
Inventive step (IS)	Yes: Claims
	No: Claims 1-19
Industrial applicability (IA)	Yes: Claims 1-19

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP00/09339

No: Claims

2. Citations and explanations
see separate sheet

VI. Certain documents cited

1. Certain published documents (Rule 70.10)

and / or

2. Non-written disclosures (Rule 70.9)

see separate sheet

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:
see separate sheet

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

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Re Item III

Claims 20-22 do not meet the requirements of Art. 6 PCT in that the matter for which protection is sought is not clearly defined. The expression "substantially as hereinbefore described" is not clear and does not enable the skilled person to determine which technical features define the corresponding claimed matter. As a matter of fact, it appears that said claims do not differ from the independent claims to which they refer, namely claims 1, 15 and 18.

As a consequence, no opinion with regard to novelty and inventive step has been given for claims 20-22.

Should the present application enter the regional European phase, an objection under Art. 84 EPC would be raised against said claims which should thus preferably be deleted.

Re Item V

The following documents, cited in the I.S.R., have been considered as relevant for the examination of the present application. Their numbering will be adhered to for the rest of the procedure.

- D1: PESTICIDE SCIENCE, vol. 50, 1997, pages 83-84
- D2: JOURNAL OF PESTICIDE SCIENCE, vol. 21, 1996, pages 473-478
- D3: EP-A-0 551 650 (HOECHST AG) 21 July 1993 (1993-07-21)
- D4: US-A-5 516 750 (WILLMS et al.) 14 May 1996 (1996-05-14)
- D5: US-A-5 627 131 (SHRIBBS et al.) 6 May 1997 (1997-05-06)
- D6: DATABASE CHEMABS [Online], accession no. 131:318890 CA & WEED SCI., vol. 47, no. 4, 1999, pages 375-382 ¹
- D7: WO 98 13361 A (CIBA GEIGY AG (CH)) 2 April 1998 (1998-04-02)
- D8: WO 97 34485 A (CIBA GEIGY AG (CH)) 25 September 1997 (1997-09-25)

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- D9: EP-A-0 298 680 (ICI AMERICA INC) 11 January 1989 (1989-01-11)
D10: DE 42 16 880 A (HOECHST AG) 25 November 1993 (1993-11-25)
D11: WO 00 30447 A (BIERINGER et al.) 2 June 2000 (2000-06-02)
D12: WO 99 66795 A (HOECHST SCHERING AGREVO GmbH (DE)) 29 December 1999 (1999-12-29)
D13: WO 00 00031 A (NOVARTIS AG (CH)) 6 January 2000 (2000-01-06)
D14: WO 00 00029 A (NOVARTIS AG (CH)) 6 January 2000 (2000-01-06)
D15: WEED SCIENCE, vol. 47, no. 5, 1999, pages 492-497

I. Novelty

- I.1 Documents D1 and D2 disclose herbicides of the benzoylisoxazole type (D1) and the dione type (D2) and the mode of action thereof. Since none of these documents discloses the use of an antidote together with these herbicidal compounds, **the subject-matter of claims 1-19 can be considered as novel over the content of D1 and D2.**
- I.2 Documents D3, D5, D6 and D8-D10 disclose herbicide-safener combinations, that is herbicidal systems containing an antidote together with the herbicidally active compound. The content of said documents anticipates the novelty of presently claimed matter as there is an overlap between the formulae given for the herbicidal compounds disclosed in said documents and formula (I) of claim 1 defining the herbicidal derivatives used according to present application and all these documents disclose the use of an antidote for the herbicides involved. This is evidenced in further details as follows.
- a) The trione derivatives of D3, namely the 2-acylated 1,3-dicarbonyl-compounds of Formula (A) (see P. 3, lines 9-44), fall into the scope of formula (I) of claim 1 where the group A signifies (A-4), (A-5), (A-6), (A-7), (A-6a) or (A-7a) and Q is OH, thereby anticipating the subject-matter of claims 1, 6-8, 11 and 14-19 of present application. Moreover, the antidotes of formulae (B1) and (B2) in D3 (see P. 4. line 8 - P. 5, line 57) correspond to the compounds of formula (II) and (III) given in the description as

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a preferred class of antidotes according to present application (see P. 10, line 11 - P. 11, line 16), thereby leading to another overlap. Among the examples of antidotes disclosed in D3, the compound (B1-6) named fenchlorazole falls into the scope of claims 9 and 10 which list preferred examples of antidotes according to present application.

- b) The herbicides disclosed in D5, namely the 4-benzoylisoxazole compounds of formula (I) (see col. 3, line 1 - col. 4, line 18) and the 2-cyano-1,3-dione compounds of formula (II) (see col. 6, lines 30-67)) fall into the scope of formula (I) of claim 1 where the group A signifies (A-1) or (A-3), respectively, thereby anticipating the subject-matter of claims 1-5, 7, 8 and 11-19. Among the list of preferred antidotes used according to D5 (see col. 10, line 47 - col. 11, line 5), the compounds N,N-diallyl dichloroacetamide (generally known as dichlormid) (col. 10, line 52) and 4-(dichloroacetyl)-3,4-dihydro-3-methyl-2H-1,4-benzoxazine (generally known as benoxacor) (col. 10, lines 54-55) fall into the scope of claim 9.
- c) The tank-mixtures disclosed in D6 contain isoxaflutole (RP-201772) as a herbicide and benoxacor (CGA-154281) or furilazole (MON-13900) as antidote (see abstract, P. 375). The herbicide isoxaflutole has a structure which falls into the scope of formula (I) of claim 1, wherein A = (A-1), R = H, R¹ = c-C₃H₅, R² = 2-SO₃Me, 4-CF₃ and z = 2, thereby anticipating the subject-matter of claims 1-3, 5, 7, 8 and 11-19. Moreover the two antidotes used fall into the scope of claim 9.
- d) The compound isoxaflutole is also used as a herbicide in D8 (see formula (II), P.2, bottom), where it is used in combination with other herbicidal compounds. The use of a safener like benoxacor is also described (see P. 4, lines 13-14), thereby anticipating the subject-matter of claims 1-3, 5, 7-9 and 11-19.
- e) The 2-acylated 1,3-dicarbonyl-compounds of formula (I) disclosed in D9 (see P. 5, lines 21-37), in particular the preferred compounds of formula (II) (see P. 5, line 41 - P. 6, line 17), fall into the scope of formula (I) of claim 1 where the group A signifies (A-4) or (A-7) and Q is OH, thereby anticipating the subject-matter of claims 1, 6-8 and 11-19. Among the preferred antidotes used according to D9, the compound (1), N,N-diallyl dichloroacetamide (generally known as dichlormid) (P. 18, lines 28-34), falls into the scope of claim 9.

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f) The 2-benzoyl 1,3-dicarbonyl-compounds of formula (I) disclosed in D10 (see P. 2, lines 18-45) fall into the scope of formula (I) of claim 1 where the group A signifies (A-4) and Q is OH, thereby anticipating the subject-matter of claims 1, 6-8 and 11-19. Among the examples of antidotes disclosed in D10, the compound (B8) named dimepiperate (see P. 3, line 65 - P. 4, line 9) falls into the scope of claims 9 and 10.

As a conclusion, the subject-matter of claims 1-5 and 7-19 cannot be considered as novel over the content of D5, D6 and D8. Moreover, the novelty of the subject-matter of claims 1 and 6-19 cannot be acknowledged with respect to the content of D3, D9 and D10.

- I.3 Document D4 discloses 5,5-disubstituted isoxazoline derivatives and the use thereof as safeners in herbicidal compositions. There is an overlap between the compounds of formula (I) disclosed in D4 (see col. 1, line 59 - col. 5, line 20) and the antidotes of formula (II) with W = (W-4) described in the description as one class of preferred antidotes according to present application (see P. 10, line 11 - P. 11, line 16). For instance, compound 18 of D4 (see Table 1, col. 18-19), namely 5,5-diphenyl-2-isoxazoline-3-carboxylic acid, falls within the scope of claim 9. Moreover, the safeners of D4 are used in combination with various types of herbicides, as for example compounds of class (H) (see col. 14, lines 3-8) which fall into the scope of formula (I) of claim 1 where the group A signifies (A-4) and Q is OH. **Hence, the subject-matter of claims 1, 6-9, 11 and 14-19 cannot be considered as novel over D4.**
- I.4 Document D7 discloses compounds used as antidotes in herbicidal compositions. There is an overlap between formula (I) defining said compounds (see P. 1, line 5 - P. 3, line 5) and formula (VI) given in the description to define one class of preferred antidotes according to present application (see P. 14, line 27 - P. 16, line 11). Nevertheless none of the examples disclosed in D7 falls within the scope of claim 9 or 10.
However, the safeners of D7 are used in combination with various types of herbicides, as for example compound (VII) named isoxaflutole (see P. 34, 4th paragraph, and P. 39, top) which falls into the scope of formula (I) of claim 1 wherein

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A = (A-1), R = H, R¹ = c-C₃H₅, R² = 2-SO₃Me, 4-CF₃ and z = 2. As a consequence, the subject-matter of claims 1-3, 5, 7, 8 and 11-19 cannot be considered as novel over D7.

- I.5 Documents D11-D15, as published after the date of priority upon which the claimed application as filed is based to claim a priority right (08.09.99), are not prior art under Rule 64.1 PCT.

Nevertheless, the validity of the priority right claimed by present application as filed could not be checked by the IPEA for the time being, due to the unavailability of complete priority documents. Therefore, the question whether the content of said documents could be regarded as prior art under Art. 54(2) EPC, in the regional European Proceedings to come, will only be examined upon provision of complete documents to permit a proper investigation as to the validity of said priority rights.

- I.6 As a conclusion, claims 1-19 do not meet the requirements of Art. 33(2) PCT.

Should the present application enter the regional European phase, the Applicant would be requested to reformulate the claimed matter in order to render it novel with respect to documents D1-D10. This could only be achieved by clearly delimiting the scope of presently claimed matter from the compositions disclosed in said documents, i.e. by restricting it to such an extent that there remains no overlapping matter between the application and the prior art.

II. Inventive step

At present the question of inventive step concerning presently claimed matter can not be assessed, since the subject-matter of present application has not been considered as novel.

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Should the Applicant provide, upon entry in the regional European phase, a new set of claims which would fulfil the novelty criteria defined in Art. 54 EPC, in accordance with the requirements of Art. 123(2) EPC, he would also be invited to furnish an appropriate argumentation or technical evidence to show that the novel compositions and methods provide an advantage or surprising feature when they are compared to the prior art compositions and methods in the above mentioned documents.

Re Item VII

1. Upon entering the regional European phase, the documents D3-D10 should be quoted and briefly discussed in the description, to satisfy the requirements of Rule 27(1b) EPC.

2. Whilst carrying out any amendment or correction upon entry into the regional European phase, care should be taken that the requirements of Art. 123(2) EPC are not transgressed.

Re Item VIII

Should the present application enter the regional European phase, the following objection concerning clarity would have to be dealt with.

1. Claim 4 lacks clarity as a whole (Art. 6 PCT). It is not clear which "substituted phenyl ring" is referred to and in which position the grouping depicted in said claim is attached thereto. A clarification is requested.

For instance, if, as it appears to be the case, said grouping represents a preferred embodiment of the group A defined in claim 1, claim 4 should be reformulated in such a way that this becomes clear and reference should only be made to claim 1, but not to claim 2 or 3 which concern other preferred embodiments of the claimed method.

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PCT

REQUÊTE

Le soussigné requiert que la présente demande internationale soit traitée conformément au Traité de coopération en matière de brevets.

Réservé à l'office récepteur

PCT-FR 00/02478

Demande internationale n°

Date du dépôt international

Nom de l'office récepteur et "Demande internationale PCT"

Référence du dossier du déposant ou du mandataire (*facultatif*)
(12 caractères au maximum) PH 99052G2

Cadre n° I TITRE DE L'INVENTION

NEW HERBICIDAL COMPOSITIONS

Cadre n° II DÉPOSANT

Nom et adresse : (*Nom de famille suivi du prénom; pour une personne morale, désignation officielle complète. L'adresse doit comprendre le code postal et le nom du pays. Le pays de l'adresse indiquée dans ce cadre est l'Etat où le déposant a son domicile si aucun domicile n'est indiqué ci-dessous.*)

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Cette personne est aussi inventeur.

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44 1277 362 610

n° de télécopieur

Nationalité (nom de l'État) :
UNITED KINGDOM

Domicile (nom de l'État) :
UNITED KINGDOM

Cette personne est tous les États désignés tous les États désignés sauf les États-Unis d'Amérique les États-Unis d'Amérique seulement les États indiqués dans le cadre supplémentaire

Cadre n° III AUTRE(S) DÉPOSANT(S) OU (AUTRE(S)) INVENTEUR(S)

Nom et adresse : (*Nom de famille suivi du prénom; pour une personne morale, désignation officielle complète. L'adresse doit comprendre le code postal et le nom du pays. Le pays de l'adresse indiquée dans ce cadre est l'Etat où le déposant a son domicile si aucun domicile n'est indiqué ci-dessous.*)

PALLETT Ken
Aventis CropScience UK Ltd
Fyfield Road
ONGAR Essex CM5 OHW

Cette personne est :

déposant seulement

déposant et inventeur

inventeur seulement
(Si cette case est cochée,
ne pas remplir la suite.)

Nationalité (nom de l'État) :
UNITED KINGDOM

Domicile (nom de l'État) :
UNITED KINGDOM

Cette personne est tous les États désignés tous les États désignés sauf les États-Unis d'Amérique les États-Unis d'Amérique seulement les États indiqués dans le cadre supplémentaire

D'autres déposants ou inventeurs sont indiqués sur une feuille annexe.

Cadre n° IV MANDATAIRE OU REPRÉSENTANT COMMUN; OU ADRESSE POUR LA CORRESPONDANCE

La personne dont l'identité est donnée ci-dessous est/a été désignée pour agir au nom du ou des déposants auprès des autorités internationales compétentes, comme:

mandataire

représentant commun

Nom et adresse : (*Nom de famille suivi du prénom; pour une personne morale, désignation officielle complète. L'adresse doit comprendre le code postal et le nom du pays.*)

Groupement de mandataires N° 153-Département Propriété Industrielle
AVENTIS CropScience SA
BP 9163
F - 69263 LYON CEDEX 09

n° de téléphone

33 4 72 85 26 36

n° de télécopieur

33 4 72 85 28 43

n° de télécopieur

Adresse pour la correspondance : cocher cette case lorsque aucun mandataire ni représentant commun n'est/n'a été désigné et que l'espace ci-dessus est utilisé pour indiquer une adresse spéciale à laquelle la correspondance doit être envoyée.

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Suite du cadre n° III. AUTRE(S) DÉPOSANT(S) OU (AUTRE(S)) INVENTEUR(S)

Si aucun des sous-cadres suivants n'est utilisé, cette feuille ne doit pas être incluse dans la requête.

Nom et adresse : (*Nom de famille suivi du prénom; pour une personne morale, désignation officielle complète. L'adresse doit comprendre le code postal et le nom du pays. Le pays de l'adresse indiquée dans ce cadre est l'Etat où le déposant a son domicile si aucun domicile n'est indiqué ci-dessous.*)

SLATER Ashley
Aventis CropScience UK Ltd
Fyfield Road
ONGAR Essex CM5 OHW

Cette personne est :

 déposant seulement déposant et inventeur inventeur seulement*(Si cette case est cochée,
ne pas remplir la suite.)*Nationalité (nom de l'État) :
UNITED KINGDOMDomicile (nom de l'État) :
UNITED KINGDOM

Cette personne est déposant pour : tous les États désignés tous les États sauf les États-Unis d'Amérique les États-Unis d'Amérique seulement les États indiqués dans le cadre supplémentaire

Nom et adresse : (*Nom de famille suivi du prénom; pour une personne morale, désignation officielle complète. L'adresse doit comprendre le code postal et le nom du pays. Le pays de l'adresse indiquée dans ce cadre est l'Etat où le déposant a son domicile si aucun domicile n'est indiqué ci-dessous.*)

Cette personne est :

 déposant seulement déposant et inventeur inventeur seulement*(Si cette case est cochée,
ne pas remplir la suite.)*

Nationalité (nom de l'État) :

Domicile (nom de l'État) :

Cette personne est déposant pour : tous les États désignés tous les États sauf les États-Unis d'Amérique les États-Unis d'Amérique seulement les États indiqués dans le cadre supplémentaire

Nom et adresse : (*Nom de famille suivi du prénom; pour une personne morale, désignation officielle complète. L'adresse doit comprendre le code postal et le nom du pays. Le pays de l'adresse indiquée dans ce cadre est l'Etat où le déposant a son domicile si aucun domicile n'est indiqué ci-dessous.*)

Cette personne est :

 déposant seulement déposant et inventeur inventeur seulement*(Si cette case est cochée,
ne pas remplir la suite.)*

Nationalité (nom de l'État) :

Domicile (nom de l'État) :

Cette personne est déposant pour : tous les États désignés tous les États sauf les États-Unis d'Amérique les États-Unis d'Amérique seulement les États indiqués dans le cadre supplémentaire

Nom et adresse : (*Nom de famille suivi du prénom; pour une personne morale, désignation officielle complète. L'adresse doit comprendre le code postal et le nom du pays. Le pays de l'adresse indiquée dans ce cadre est l'Etat où le déposant a son domicile si aucun domicile n'est indiqué ci-dessous.*)

Cette personne est :

 déposant seulement déposant et inventeur inventeur seulement*(Si cette case est cochée,
ne pas remplir la suite.)*

Nationalité (nom de l'État) :

Domicile (nom de l'État) :

Cette personne est déposant pour : tous les États désignés tous les États sauf les États-Unis d'Amérique les États-Unis d'Amérique seulement les États indiqués dans le cadre supplémentaire

 D'autres déposants ou inventeurs sont indiqués sur une autre feuille annexe.

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Cadre n° V DÉSIGNATION D'ÉTATS

Les désignations suivantes sont faites conformément à la règle 4.9.a) (cocher les cases appropriées; une au moins doit l'être):

Brevet régional

- AP Brevet ARIPO : GH Ghana, GM Gambie, KE Kenya, LS Lesotho, MW Malawi, SD Soudan, SL Sierra Leone, SZ Swaziland, TZ République-Unie de Tanzanie, UG Ouganda, ZW Zimbabwe et tout autre État qui est un État contractant du Protocole de Harare et du PCT
- EA Brevet eurasien : AM Arménie, AZ Azerbaïjan, BY Belarus, KG Kirghizistan, KZ Kazakhstan, MD République de Moldova, RU Fédération de Russie, TJ Tadjikistan, TM Turkménistan et tout autre État qui est un État contractant de la Convention sur le brevet curasien et du PCT
- EP Brevet européen : AT Autriche, BE Belgique, CH et LI Suisse et Liechtenstein, CY Chypre, DE Allemagne, DK Danemark, ES Espagne, FI Finlande, FR France, GB Royaume-Uni, GR Grèce, IE Irlande, IT Italie, LU Luxembourg, MC Monaco, NL Pays-Bas, PT Portugal, SE Suède et tout autre État qui est un État contractant de la Convention sur le brevet européen et du PCT
- OA Brevet OAPI : BF Burkina Faso, BJ Bénin, CF République centrafricaine, CG Congo, CI Côte d'Ivoire, CM Cameroun, GA Gabon, GN Guinée, GW Guinée-Bissau, ML Mali, MR Mauritanie, NE Niger, SN Sénégal, TD Tchad, TG Togo et tout autre État qui est un État membre de l'OAPI et un État contractant du PCT (si une autre forme de protection ou de traitement est souhaitée, le préciser sur la ligne pointillée).

Brevet national (si une autre forme de protection ou de traitement est souhaitée, le préciser sur la ligne pointillée):

- | | |
|---|---|
| <input checked="" type="checkbox"/> AE Émirats arabes unis | <input checked="" type="checkbox"/> LR Liberia |
| <input checked="" type="checkbox"/> AL Albanie | <input checked="" type="checkbox"/> LS Lesotho |
| <input checked="" type="checkbox"/> AM Arménie | <input checked="" type="checkbox"/> LT Lituanie |
| <input checked="" type="checkbox"/> AT Autriche | <input checked="" type="checkbox"/> LU Luxembourg |
| <input checked="" type="checkbox"/> AU Australie | <input checked="" type="checkbox"/> LV Lettonie |
| <input checked="" type="checkbox"/> AZ Azerbaïjan | <input checked="" type="checkbox"/> MA Maroc |
| <input checked="" type="checkbox"/> BA Bosnie-Herzégovine | <input checked="" type="checkbox"/> MD République de Moldova |
| <input checked="" type="checkbox"/> BB Barbade | <input checked="" type="checkbox"/> MG Madagascar |
| <input checked="" type="checkbox"/> BG Bulgarie | <input checked="" type="checkbox"/> MK Ex-République yougoslave de Macédoine |
| <input checked="" type="checkbox"/> BR Brésil | <input checked="" type="checkbox"/> MN Mongolie |
| <input checked="" type="checkbox"/> BY Bélarus | <input checked="" type="checkbox"/> MW Malawi |
| <input checked="" type="checkbox"/> CA Canada | <input checked="" type="checkbox"/> MX Mexique |
| <input checked="" type="checkbox"/> CH et LI Suisse et Liechtenstein | <input checked="" type="checkbox"/> NO Norvège |
| <input checked="" type="checkbox"/> CN Chine | <input checked="" type="checkbox"/> NZ Nouvelle-Zélande |
| <input checked="" type="checkbox"/> CR Costa Rica | <input checked="" type="checkbox"/> PL Pologne |
| <input checked="" type="checkbox"/> CU Cuba | <input checked="" type="checkbox"/> PT Portugal |
| <input checked="" type="checkbox"/> CZ République tchèque | <input checked="" type="checkbox"/> RO Roumanie |
| <input checked="" type="checkbox"/> DE Allemagne | <input checked="" type="checkbox"/> RU Fédération de Russie |
| <input checked="" type="checkbox"/> DK Danemark | <input checked="" type="checkbox"/> SD Soudan |
| <input checked="" type="checkbox"/> DM Dominique | <input checked="" type="checkbox"/> SE Suède |
| <input checked="" type="checkbox"/> EE Estonie | <input checked="" type="checkbox"/> SG Singapour |
| <input checked="" type="checkbox"/> ES Espagne | <input checked="" type="checkbox"/> SI Slovénie |
| <input checked="" type="checkbox"/> FI Finlande | <input checked="" type="checkbox"/> SK Slovaquie |
| <input checked="" type="checkbox"/> GB Royaume-Uni | <input checked="" type="checkbox"/> SL Sierra Leone |
| <input checked="" type="checkbox"/> GD Grenade | <input checked="" type="checkbox"/> TJ Tadjikistan |
| <input checked="" type="checkbox"/> GE Géorgie | <input checked="" type="checkbox"/> TM Turkménistan |
| <input checked="" type="checkbox"/> GH Ghana | <input checked="" type="checkbox"/> TR Turquie |
| <input checked="" type="checkbox"/> GM Gambie | <input checked="" type="checkbox"/> TT Trinité-et-Tobago |
| <input checked="" type="checkbox"/> HR Croatie | <input checked="" type="checkbox"/> TZ République-Unie de Tanzanie |
| <input checked="" type="checkbox"/> HU Hongrie | <input checked="" type="checkbox"/> UA Ukraine |
| <input checked="" type="checkbox"/> ID Indonésie | <input checked="" type="checkbox"/> UG Ouganda |
| <input checked="" type="checkbox"/> IL Israël | <input checked="" type="checkbox"/> US États-Unis d'Amérique |
| <input checked="" type="checkbox"/> IN Inde | <input checked="" type="checkbox"/> UZ Ouzbékistan |
| <input checked="" type="checkbox"/> IS Islande | <input checked="" type="checkbox"/> VN Viet Nam |
| <input checked="" type="checkbox"/> JP Japon | <input checked="" type="checkbox"/> YU Yougoslavie |
| <input checked="" type="checkbox"/> KE Kenya | <input checked="" type="checkbox"/> ZA Afrique du Sud |
| <input checked="" type="checkbox"/> KG Kirghizistan | <input checked="" type="checkbox"/> ZW Zimbabwe |
| <input checked="" type="checkbox"/> KP République populaire démocratique de Corée | Cases réservées pour la désignation d'États qui sont devenus parties au PCT après la publication de la présente feuille : |
| <input checked="" type="checkbox"/> KR République de Corée | <input checked="" type="checkbox"/> DZ Algérie MZ Mozambique |
| <input checked="" type="checkbox"/> KZ Kazakhstan | <input checked="" type="checkbox"/> AG Antigua and Barbuda BZ Belize |
| <input checked="" type="checkbox"/> LC Sainte-Lucie | |
| <input checked="" type="checkbox"/> LK Sri Lanka | |

Déclaration concernant les désignations de précaution: outre les désignations faites ci-dessus, le déposant fait aussi conformément à la règle 4.9.b) toutes les désignations qui seraient autorisées en vertu du PCT, à l'exception de toute désignation indiquée dans le cadre supplémentaire comme étant exclue de la portée de cette déclaration. Le déposant déclare que ces désignations additionnelles sont faites sous réserve de confirmation et que toute désignation qui n'est pas confirmée avant l'expiration d'un délai de 15 mois à compter de la date de priorité doit être considérée comme retirée par le déposant à l'expiration de ce délai. (La confirmation (y compris les taxes) doit parvenir à l'office récepteur dans le délai de 15 mois.)

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Box No. VI PRIORITY CLAIM		<input type="checkbox"/> Further priority claims are indicated in the Supplemental Box.		
Filing date of earlier application (day/month/year)	Number of earlier application	Where earlier application is:		
		national application: country	regional application: regional Office	international application: receiving Office
item (1) 08.09.1999	99 21220-1	United Kingdom		
item (2) 19.05.2000	00 12090-7	United Kingdom		
item (3)				

The receiving Office is requested to prepare and transmit to the International Bureau a certified copy of the earlier application(s) (only if the earlier application was filed with the Office which for the purposes of the present international application is the receiving Office) identified above as item(s).

* Where the earlier application is an ARIPO application, it is mandatory to indicate in the Supplemental Box at least one country party to the Paris Convention for the Protection of Industrial Property for which that earlier application was filed (Rule 4.10(b)(ii)). See Supplemental Box.

Box No. VII INTERNATIONAL SEARCHING AUTHORITY

Choice of International Searching Authority (ISA) (if two or more International Searching Authorities are competent to carry out the international search, indicate the Authority chosen; the two-letter code may be used):	Request to use results of earlier search; reference to that search (if an earlier search has been carried out by or requested from the International Searching Authority):		
	Date (day/month/year)	Number	Country (or regional Office)
ISA /			

Box No. VIII CHECK LIST; LANGUAGE OF FILING

This international application contains the following number of sheets:	This international application is accompanied by the item(s) marked below:
request : 4	<input checked="" type="checkbox"/> fee calculation sheet
description (excluding sequence listing part) : 35	<input type="checkbox"/> separate signed power of attorney
claims : 7	<input type="checkbox"/> copy of general power of attorney; reference number, if any:
abstract : 1	<input type="checkbox"/> statement explaining lack of signature
drawings :	<input checked="" type="checkbox"/> priority document(s) identified in Box No. VI as item(s):
sequence listing part of description :	<input type="checkbox"/> translation of international application into (language):
Total number of sheets : 47	<input type="checkbox"/> separate indications concerning deposited microorganism or other biological material
	<input type="checkbox"/> nucleotide and/or amino acid sequence listing in computer readable form
	<input type="checkbox"/> other (specify): Powers of inventors

Figure of the drawings which
should accompany the abstract: Language of filing of the
international application: ENGLISH

Box No. IX SIGNATURE OF APPLICANT OR AGENT

Next to each signature, indicate the name of the person signing and the capacity in which the person signs (if such capacity is not obvious from reading the request).

Groupement de mandataires N° 153
Département Propriété Industrielle
AVENTIS CropScience SA

Charles BRACHOTTE
PG 39476

For receiving Office use only

1. Date of actual receipt of the purported international application:	2. Drawings: <input type="checkbox"/> received: <input type="checkbox"/> not received:
3. Corrected date of actual receipt due to later but timely received papers or drawings completing the purported international application:	
4. Date of timely receipt of the required corrections under PCT Article 11(2):	
5. International Searching Authority (if two or more are competent): ISA /	6. <input type="checkbox"/> Transmittal of search copy delayed until search fee is paid.

For International Bureau use only

Date of receipt of the record copy
by the International Bureau:

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PATENT COOPERATION TREATY

WE

From the
INTERNATIONAL PRELIMINARY EXAMINING AUTHORITY

<p>To:</p> <p>TETAZ, Franck Aventis CropScience S.A. Département Propriété Industrielle 14/20, rue Pierre Baizet BP 9163 F-69263 Lyon Cedex 09 FRANCE</p>	<p>Aventis CropScience GmbH Patent- u. Marktanwalt PCT 801 Vorg Eing. ~ 2. JUN. 2002 <input type="checkbox"/> W.V. <input type="checkbox"/> ablegen <input checked="" type="checkbox"/> Vert. wie Vorg. <i>vergegeb</i> (day/month/year)</p>	<p>NOTIFICATION OF TRANSMITTAL OF THE INTERNATIONAL PRELIMINARY EXAMINATION REPORT (PCT Rule 71.1)</p>
		<p>13.12.2001</p>
<p>Applicant's or agent's file reference PH 99052G2</p> <p>IMPORTANT NOTIFICATION</p>		
<p>International application No. PCT/EP00/09339</p>	<p>International filing date (day/month/year) 08/09/2000</p>	<p>Priority date (day/month/year) 08/09/1999</p>
<p>Applicant AVENTIS CROPS SCIENCE UK LIMITED et al.</p>		

1. The applicant is hereby notified that this International Preliminary Examining Authority transmits herewith the international preliminary examination report and its annexes, if any, established on the international application.
2. A copy of the report and its annexes, if any, is being transmitted to the International Bureau for communication to all the elected Offices.
3. Where required by any of the elected Offices, the International Bureau will prepare an English translation of the report (but not of any annexes) and will transmit such translation to those Offices.

4. REMINDER

The applicant must enter the national phase before each elected Office by performing certain acts (filing translations and paying national fees) within 30 months from the priority date (or later in some Offices) (Article 39(1)) (see also the reminder sent by the International Bureau with Form PCT/IB/301).

Where a translation of the international application must be furnished to an elected Office, that translation must contain a translation of any annexes to the international preliminary examination report. It is the applicant's responsibility to prepare and furnish such translation directly to each elected Office concerned.

For further details on the applicable time limits and requirements of the elected Offices, see Volume II of the PCT Applicant's Guide.

<p>Name and mailing address of the IPEA/  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465</p>	<p>Authorized officer DA ROCHA, O. Tel. +49 89 2399-8101</p>
	

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PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY EXAMINATION REPORT

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference PH 99052G2	FOR FURTHER ACTION		See Notification of Transmittal of International Preliminary Examination Report (Form PCT/IPEA/416)
International application No. PCT/EP00/09339	International filing date (day/month/year) 08/09/2000	Priority date (day/month/year) 08/09/1999	
International Patent Classification (IPC) or national classification and IPC A01N43/80			
<p>Applicant AVENTIS CROPSCIENCE UK LIMITED et al.</p> <p>1. This international preliminary examination report has been prepared by this International Preliminary Examining Authority and is transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 10 sheets, including this cover sheet.</p> <p><input type="checkbox"/> This report is also accompanied by ANNEXES, i.e. sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications made before this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions under the PCT).</p> <p>These annexes consist of a total of sheets.</p>			
<p>3. This report contains indications relating to the following items:</p> <ul style="list-style-type: none"> I <input checked="" type="checkbox"/> Basis of the report II <input type="checkbox"/> Priority III <input checked="" type="checkbox"/> Non-establishment of opinion with regard to novelty, inventive step and industrial applicability IV <input type="checkbox"/> Lack of unity of invention V <input checked="" type="checkbox"/> Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement VI <input checked="" type="checkbox"/> Certain documents cited VII <input checked="" type="checkbox"/> Certain defects in the international application VIII <input checked="" type="checkbox"/> Certain observations on the international application 			

Date of submission of the demand 09/03/2001	Date of completion of this report 13.12.2001
Name and mailing address of the international preliminary examining authority: European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465	Authorized officer Masson, J-P Telephone No. +49 89 2399 8728



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INTERNATIONAL PRELIMINARY EXAMINATION REPORT

International application No. PCT/EP00/09339

I. Basis of the report

1. With regard to the elements of the international application (*Replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report since they do not contain amendments (Rules 70.16 and 70.17)*):

Description, pages:

1-35 as originally filed

Claims, No.:

1-22 as originally filed

2. With regard to the language, all the elements marked above were available or furnished to this Authority in the language in which the international application was filed, unless otherwise indicated under this item.

These elements were available or furnished to this Authority in the following language: , which is:

- the language of a translation furnished for the purposes of the international search (under Rule 23.1(b)).
- the language of publication of the international application (under Rule 48.3(b)).
- the language of a translation furnished for the purposes of international preliminary examination (under Rule 55.2 and/or 55.3).

3. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international preliminary examination was carried out on the basis of the sequence listing:

- contained in the international application in written form.
- filed together with the international application in computer readable form.
- furnished subsequently to this Authority in written form.
- furnished subsequently to this Authority in computer readable form.
- The statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
- The statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

4. The amendments have resulted in the cancellation of:

- the description, pages:
- the claims, Nos.:
- the drawings, sheets:

5. This report has been established as if (some of) the amendments had not been made, since they have been considered to go beyond the disclosure as filed (Rule 70.2(c)):

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP00/09339

(Any replacement sheet containing such amendments must be referred to under item 1 and annexed to this report.)

6. Additional observations, if necessary:

III. Non-establishment of opinion with regard to novelty, inventive step and industrial applicability

1. The questions whether the claimed invention appears to be novel, to involve an inventive step (to be non-obvious), or to be industrially applicable have not been examined in respect of:
- the entire international application.
- claims Nos. 20-22.

because:

- the said international application, or the said claims Nos. relate to the following subject matter which does not require an international preliminary examination (*specify*):
- the description, claims or drawings (*indicate particular elements below*) or said claims Nos. 20-22 are so unclear that no meaningful opinion could be formed (*specify*):
see separate sheet
- the claims, or said claims Nos. are so inadequately supported by the description that no meaningful opinion could be formed.
- no international search report has been established for the said claims Nos. .
2. A meaningful international preliminary examination cannot be carried out due to the failure of the nucleotide and/or amino acid sequence listing to comply with the standard provided for in Annex C of the Administrative Instructions:
- the written form has not been furnished or does not comply with the standard.
- the computer readable form has not been furnished or does not comply with the standard.

V. Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims
	No: Claims 1-19
Inventive step (IS)	Yes: Claims
	No: Claims 1-19

Industrial applicability (IA) Yes: Claims 1-19

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT**

International application No. PCT/EP00/09339

No: Claims

2. Citations and explanations
see separate sheet

VI. Certain documents cited

1. Certain published documents (Rule 70.10)

and / or

2. Non-written disclosures (Rule 70.9)

see separate sheet

VII. Certain defects in the international application

The following defects in the form or contents of the international application have been noted:
see separate sheet

VIII. Certain observations on the international application

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

see separate sheet

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP00/09339

Re Item III

Claims 20-22 do not meet the requirements of Art. 6 PCT in that the matter for which protection is sought is not clearly defined. The expression "substantially as hereinbefore described" is not clear and does not enable the skilled person to determine which technical features define the corresponding claimed matter. As a matter of fact, it appears that said claims do not differ from the independent claims to which they refer, namely claims 1, 15 and 18.

As a consequence, no opinion with regard to novelty and inventive step has been given for claims 20-22.

Should the present application enter the regional European phase, an objection under Art. 84 EPC would be raised against said claims which should thus preferably be deleted.

Re Item V

The following documents, cited in the I.S.R., have been considered as relevant for the examination of the present application. Their numbering will be adhered to for the rest of the procedure.

- D1: PESTICIDE SCIENCE, vol. 50, 1997, pages 83-84
- D2: JOURNAL OF PESTICIDE SCIENCE, vol. 21, 1996, pages 473-478
- D3: EP-A-0 551 650 (HOECHST AG) 21 July 1993 (1993-07-21)
- D4: US-A-5 516 750 (WILLMS et al.) 14 May 1996 (1996-05-14)
- D5: US-A-5 627 131 (SHRIBBS et al.) 6 May 1997 (1997-05-06)
- D6: DATABASE CHEMABS [Online], accession no. 131:318890 CA & WEED SCI., vol. 47, no. 4, 1999, pages 375-382 ¹
- D7: WO 98 13361 A (CIBA GEIGY AG (CH)) 2 April 1998 (1998-04-02)
- D8: WO 97 34485 A (CIBA GEIGY AG (CH)) 25 September 1997 (1997-09-25)

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**INTERNATIONAL PRELIMINARY
EXAMINATION REPORT - SEPARATE SHEET**

International application No. PCT/EP00/09339

- D9: EP-A-0 298 680 (ICI AMERICA INC) 11 January 1989 (1989-01-11)
D10: DE 42 16 880 A (HOECHST AG) 25 November 1993 (1993-11-25)
D11: WO 00 30447 A (BIERINGER et al.) 2 June 2000 (2000-06-02)
D12: WO 99 66795 A (HOECHST SCHERING AGREVO GmbH (DE)) 29 December 1999 (1999-12-29)
D13: WO 00 00031 A (NOVARTIS AG (CH)) 6 January 2000 (2000-01-06)
D14: WO 00 00029 A (NOVARTIS AG (CH)) 6 January 2000 (2000-01-06)
D15: WEED SCIENCE, vol. 47, no. 5, 1999, pages 492-497

I. Novelty

- I.1 Documents D1 and D2 disclose herbicides of the benzoylisoxazole type (D1) and the dione type (D2) and the mode of action thereof. Since none of these documents discloses the use of an antidote together with these herbicidal compounds, **the subject-matter of claims 1-19 can be considered as novel over the content of D1 and D2.**
- I.2 Documents D3, D5, D6 and D8-D10 disclose herbicide-safener combinations, that is herbicidal systems containing an antidote together with the herbicidally active compound. The content of said documents anticipates the novelty of presently claimed matter as there is an overlap between the formulae given for the herbicidal compounds disclosed in said documents and formula (I) of claim 1 defining the herbicidal derivatives used according to present application and all these documents disclose the use of an antidote for the herbicides involved. This is evidenced in further details as follows.
- a) The trione derivatives of D3, namely the 2-acylated 1,3-dicarbonyl-compounds of Formula (A) (see P. 3, lines 9-44), fall into the scope of formula (I) of claim 1 where the group A signifies (A-4), (A-5), (A-6), (A-7), (A-6a) or (A-7a) and Q is OH, thereby anticipating the subject-matter of claims 1, 6-8, 11 and 14-19 of present application. Moreover, the antidotes of formulae (B1) and (B2) in D3 (see P. 4, line 8 - P. 5, line 57) correspond to the compounds of formula (II) and (III) given in the description as

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a preferred class of antidotes according to present application (see P. 10, line 11 - P. 11, line 16), thereby leading to another overlap. Among the examples of antidotes disclosed in D3, the compound (B1-6) named fenchlorazole falls into the scope of claims 9 and 10 which list preferred examples of antidotes according to present application.

- b) The herbicides disclosed in D5, namely the 4-benzoylisoxazole compounds of formula (I) (see col. 3, line 1 - col. 4, line 18) and the 2-cyano-1,3-dione compounds of formula (II) (see col. 6, lines 30-67)) fall into the scope of formula (I) of claim 1 where the group A signifies (A-1) or (A-3), respectively, thereby anticipating the subject-matter of claims 1-5, 7, 8 and 11-19. Among the list of preferred antidotes used according to D5 (see col. 10, line 47 - col. 11, line 5), the compounds N,N-diallyl dichloroacetamide (generally known as dichlormid) (col. 10, line 52) and 4-(dichloroacetyl)-3,4-dihydro-3-methyl-2H-1,4-benzoxazine (generally known as benoxacor) (col. 10, lines 54-55) fall into the scope of claim 9.
- c) The tank-mixtures disclosed in D6 contain isoxaflutole (RP-201772) as a herbicide and benoxacor (CGA-154281) or furilazole (MON-13900) as antidote (see abstract, P. 375). The herbicide isoxaflutole has a structure which falls into the scope of formula (I) of claim 1, wherein A = (A-1), R = H, R¹ = c-C₃H₅, R² = 2-SO₃Me, 4-CF₃ and z = 2, thereby anticipating the subject-matter of claims 1-3, 5, 7, 8 and 11-19. Moreover the two antidotes used fall into the scope of claim 9.
- d) The compound isoxaflutole is also used as a herbicide in D8 (see formula (II), P.2, bottom), where it is used in combination with other herbicidal compounds. The use of a safener like benoxacor is also described (see P. 4, lines 13-14), thereby anticipating the subject-matter of claims 1-3, 5, 7-9 and 11-19.
- e) The 2-acylated 1,3-dicarbonyl-compounds of formula (I) disclosed in D9 (see P. 5, lines 21-37), in particular the preferred compounds of formula (II) (see P. 5, line 41 - P. 6, line 17), fall into the scope of formula (I) of claim 1 where the group A signifies (A-4) or (A-7) and Q is OH, thereby anticipating the subject-matter of claims 1, 6-8 and 11-19. Among the preferred antidotes used according to D9, the compound (1), N,N-diallyl dichloroacetamide (generally known as dichlormid) (P. 18, lines 28-34), falls into the scope of claim 9.

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f) The 2-benzoyl 1,3-dicarbonyl-compounds of formula (I) disclosed in D10 (see P. 2, lines 18-45) fall into the scope of formula (I) of claim 1 where the group A signifies (A-4) and Q is OH, thereby anticipating the subject-matter of claims 1, 6-8 and 11-19. Among the examples of antidotes disclosed in D10, the compound (B8) named dimepiperate (see P. 3, line 65 - P. 4, line 9) falls into the scope of claims 9 and 10.

As a conclusion, the subject-matter of claims 1-5 and 7-19 cannot be considered as novel over the content of D5, D6 and D8. Moreover, the novelty of the subject-matter of claims 1 and 6-19 cannot be acknowledged with respect to the content of D3, D9 and D10.

- I.3 Document D4 discloses 5,5-disubstituted isoxazoline derivatives and the use thereof as safeners in herbicidal compositions. There is an overlap between the compounds of formula (I) disclosed in D4 (see col. 1, line 59 - col. 5, line 20) and the antidotes of formula (II) with W = (W-4) described in the description as one class of preferred antidotes according to present application (see P. 10, line 11 - P. 11, line 16). For instance, compound 18 of D4 (see Table 1, col. 18-19), namely 5,5-diphenyl-2-isoxazoline-3-carboxylic acid, falls within the scope of claim 9. Moreover, the safeners of D4 are used in combination with various types of herbicides, as for example compounds of class (H) (see col. 14, lines 3-8) which fall into the scope of formula (I) of claim 1 where the group A signifies (A-4) and Q is OH. **Hence, the subject-matter of claims 1, 6-9, 11 and 14-19 cannot be considered as novel over D4.**
- I.4 Document D7 discloses compounds used as antidotes in herbicidal compositions. There is an overlap between formula (I) defining said compounds (see P. 1, line 5 - P. 3, line 5) and formula (VI) given in the description to define one class of preferred antidotes according to present application (see P. 14, line 27 - P. 16, line 11). Nevertheless none of the examples disclosed in D7 falls within the scope of claim 9 or 10.
However, the safeners of D7 are used in combination with various types of herbicides, as for example compound (VII) named isoxaflutole (see P. 34, 4th paragraph, and P. 39, top) which falls into the scope of formula (I) of claim 1 wherein

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A = (A-1), R = H, R¹ = c-C₃H₅, R² = 2-SO₃Me, 4-CF₃ and z = 2. As a consequence, the subject-matter of claims 1-3, 5, 7, 8 and 11-19 cannot be considered as novel over D7.

- I.5 Documents D11-D15, as published after the date of priority upon which the claimed application as filed is based to claim a priority right (08.09.99), are not prior art under Rule 64.1 PCT.

Nevertheless, the validity of the priority right claimed by present application as filed could not be checked by the IPEA for the time being, due to the unavailability of complete priority documents. Therefore, the question whether the content of said documents could be regarded as prior art under Art. 54(2) EPC, in the regional European Proceedings to come, will only be examined upon provision of complete documents to permit a proper investigation as to the validity of said priority rights.

- I.6 As a conclusion, claims 1-19 do not meet the requirements of Art. 33(2) PCT.

Should the present application enter the regional European phase, the Applicant would be requested to reformulate the claimed matter in order to render it novel with respect to documents D1-D10. This could only be achieved by clearly delimitting the scope of presently claimed matter from the compositions disclosed in said documents, i.e. by restricting it to such an extent that there remains no overlapping matter between the application and the prior art.

II. Inventive step

At present the question of inventive step concerning presently claimed matter can not be assessed, since the subject-matter of present application has not been considered as novel.

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Should the Applicant provide, upon entry in the regional European phase, a new set of claims which would fulfil the novelty criteria defined in Art. 54 EPC, in accordance with the requirements of Art. 123(2) EPC, he would also be invited to furnish an appropriate argumentation or technical evidence to show that the novel compositions and methods provide an advantage or surprising feature when they are compared to the prior art compositions and methods in the above mentioned documents.

Re Item VII

1. Upon entering the regional European phase, the documents D3-D10 should be quoted and briefly discussed in the description, to satisfy the requirements of Rule 27(1b) EPC.

2. Whilst carrying out any amendment or correction upon entry into the regional European phase, care should be taken that the requirements of Art. 123(2) EPC are not transgressed.

Re Item VIII

Should the present application enter the regional European phase, the following objection concerning clarity would have to be dealt with.

1. Claim 4 lacks clarity as a whole (Art. 6 PCT). It is not clear which "substituted phenyl ring" is referred to and in which position the grouping depicted in said claim is attached thereto. A clarification is requested.
For instance, if, as it appears to be the case, said grouping represents a preferred embodiment of the group A defined in claim 1, claim 4 should be reformulated in such a way that this becomes clear and reference should only be made to claim 1, but not to claim 2 or 3 which concern other preferred embodiments of the claimed method.

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PATENT COOPERATION TREATY

PCT

INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference PH 99052G2	FOR FURTHER ACTION see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.	
International application No. PCT/EP 00/09339	International filing date (<i>day/month/year</i>) 08/09/2000	(Earliest) Priority Date (<i>day/month/year</i>) 08/09/1999
Applicant AVENTIS CROPSCIENCE UK LIMITED et al.		

This International Search Report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This International Search Report consists of a total of 4 sheets.

It is also accompanied by a copy of each prior art document cited in this report.

1. Basis of the report

- a. With regard to the **language**, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
 - the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).
- b. With regard to any **nucleotide and/or amino acid sequence** disclosed in the international application, the international search was carried out on the basis of the sequence listing :
 - contained in the international application in written form.
 - filed together with the international application in computer readable form.
 - furnished subsequently to this Authority in written form.
 - furnished subsequently to this Authority in computer readable form.
 - the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.
 - the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished

2. Certain claims were found unsearchable (See Box I).

3. Unity of invention is lacking (see Box II).

4. With regard to the **title**,

- the text is approved as submitted by the applicant.
- the text has been established by this Authority to read as follows:

5. With regard to the **abstract**,

- the text is approved as submitted by the applicant.
- the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the **drawings** to be published with the abstract is Figure No.

- as suggested by the applicant.
- because the applicant failed to suggest a figure.
- because this figure better characterizes the invention.

None of the figures.

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 00/09339

A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 A01N43/80 A01N41/10 A01N43/90 // (A01N43/80, 43:80, 43:653, 43:56, 25:32), (A01N41/10, 43:80, 43:653, 43:56, 25:32), (A01N43/90, 43:80, 43:653, 43:56, 25:32)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

WPI Data, PAJ, EPO-Internal, CHEM ABS Data, CAB Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	K.E. PALLETT ET AL.: "Inhibition of 4-Hydroxyphenylpyruvate Dioxygenase: the Mode of Action of the Herbicide RPA 201772 (Isoxaflutole)" PESTICIDE SCIENCE., vol. 50, 1997, pages 83-84, XP002157968 ELSEVIER APPLIED SCIENCE PUBLISHER. BARKING., GB ISSN: 0031-613X the whole document ---	1-22
Y	BOEGER P: "MODE OF ACTION OF HERBICIDES AFFECTING CAROTENOGENESIS" JOURNAL OF PESTICIDE SCIENCE, JP, PESTICIDE SCIENCE SOCIETY, TOKYO, vol. 21, 1996, pages 473-478, XP000901310 ISSN: 0916-9962 page 475; table 3 ---	1-22
	-/-	

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

* Special categories of cited documents :

- *A* document defining the general state of the art which is not considered to be of particular relevance
- *E* earlier document but published on or after the international filing date
- *L* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- *O* document referring to an oral disclosure, use, exhibition or other means
- *P* document published prior to the international filing date but later than the priority date claimed

- *T* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- *X* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- *Y* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- *8* document member of the same patent family

Date of the actual completion of the international search

19 January 2001

Date of mailing of the international search report

02/02/2001

Name and mailing address of the ISA

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Authorized officer

Lamers, W

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 00/09339

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 551 650 A (HOECHST AG) 21 July 1993 (1993-07-21) page 3, line 1 -page 8, line 42 page 17, line 57 -page 18, line 54 page 19, line 9 - line 18 ---	1,6-22
Y	---	1-22
Y	US 5 516 750 A (WILLMS LOTHAR ET AL) 14 May 1996 (1996-05-14) column 1, line 54 -column 5, line 20 column 8, line 63 column 10, line 25 - line 26 column 14, line 2 - line 8 ---	1-22
X	US 5 627 131 A (SHRIBBS JOHN M ET AL) 6 May 1997 (1997-05-06) column 2, line 18 - line 54 column 7, line 25 -column 8, line 49 ---	1-5,7-9, 11-22
Y	---	6
X	DATABASE CHEMABS 'Online! CHEMICAL ABSTRACTS SERVICE, COLUMBUS, OHIO, US; C.L. SPRAGUE ET AL.: "Weed control and Zea mays tolerance as affected by timing of RP-201772 application" retrieved from STN-INTERNATIONAL, accession no. 131:318890 CA XP002157969 abstract & WEED SCI., vol. 47, no. 4, 1999, pages 375-382, ---	1-5,7-9, 11-22
X	WO 98 13361 A (SZCZEPANSKI HENRY ;CIBA GEIGY AG (CH); TOBLER HANS (CH); FOERY WER) 2 April 1998 (1998-04-02) page 1 -page 3 page 34, paragraph 5 page 39 ---	1-5,7,8, 11-22
X	WO 97 34485 A (CIBA GEIGY AG ;RUEEGG WILLY (CH)) 25 September 1997 (1997-09-25) page 2, paragraph 3 -page 3, paragraph 2 page 4, paragraph 4 ---	1-5,7-9, 11-22
X	EP 0 298 680 A (ICI AMERICA INC) 11 January 1989 (1989-01-11) page 3, line 43 -page 21, line 34 ---	1,6-9, 11-22
X	DE 42 16 880 A (HOECHST AG) 25 November 1993 (1993-11-25) page 2, line 1 - line 47 page 3, line 64 -page 4, line 9 page 9, line 15 - line 18 ---	1,6-22
	-/-	

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP 00/09339

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
P, X	WO 00 30447 A (BIERINGER HERMANN ;WILLMS LOTHAR (DE); HACKER ERWIN (DE); ZIEMER F) 2 June 2000 (2000-06-02) page 1 -page 15 ---	1-22
P, X	WO 99 66795 A (HOECHST SCHERING AGREVO GMBH) 29 December 1999 (1999-12-29) page 1 -page 16 ---	1-22
P, X	WO 00 00031 A (NOVARTIS ERFIND VERWALT GMBH ;NOVARTIS AG (CH); RUEEGG WILLY (CH)) 6 January 2000 (2000-01-06) page 1 -page 2 page 23, paragraph 2 -page 26 ---	1-22
P, X	WO 00 00029 A (NOVARTIS ERFIND VERWALT GMBH ;NOVARTIS AG (CH); RUEEGG WILLY (CH)) 6 January 2000 (2000-01-06) page 1 page 24 -page 27 ---	1-22
P, X	SPRAGUE C L ET AL: "ENHANCING THE MARGIN OF SELECTIVITY OF RPA 201772 IN ZEA MAYS WITH ANTIDOTES" WEED SCIENCE, US, WEED SCIENCE SOCIETY OF AMERICA, CHAMPAIGN, IL, vol. 47, no. 5, 1999, pages 492-497, XP000901419 ISSN: 0043-1745 the whole document -----	1-22

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 00/09339

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
EP 0551650	A 21-07-1993	AU 662581 B AU 3047792 A CA 2086491 A DE 59209757 D EP 0943240 A JP 5279204 A US 5441922 A		07-09-1995 08-07-1993 01-07-1993 18-11-1999 22-09-1999 26-10-1993 15-08-1995
US 5516750	A 14-05-1996	DE 4331448 A AU 701332 B AU 7695894 A BR 9407634 A CA 2171974 A CN 1133038 A CZ 9600806 A WO 9507897 A EP 0719261 A HU 74121 A IL 110966 A JP 9504007 T PL 313478 A ZA 9407120 A		23-03-1995 28-01-1999 03-04-1995 28-01-1997 23-03-1995 09-10-1996 12-06-1996 23-03-1995 03-07-1996 28-11-1996 20-06-1999 22-04-1997 08-07-1996 02-05-1995
US 5627131	A 06-05-1997	AP 680 A AT 191829 T AU 702142 B AU 4312996 A BG 101635 A BR 9606891 A CA 2209937 A CN 1168083 A CZ 9702068 A DE 69607827 D DE 69607827 T EA 62 B EP 0802732 A ES 2147360 T WO 9621357 A GR 3033303 T HU 9800117 A, B JP 10505099 T NZ 297874 A PL 321266 A PT 802732 T SI 802732 T SK 90997 A ZA 9600067 A		30-09-1998 15-05-2000 11-02-1999 31-07-1996 31-08-1998 27-04-1999 18-07-1996 17-12-1997 13-05-1998 25-05-2000 12-10-2000 30-04-1998 29-10-1997 01-09-2000 18-07-1996 29-09-2000 28-04-1998 19-05-1998 26-08-1998 24-11-1997 31-07-2000 31-08-2000 05-08-1998 18-07-1996
WO 9813361	A 02-04-1998	AU 4778097 A EP 0929543 A		17-04-1998 21-07-1999
WO 9734485	A 25-09-1997	AU 715538 B AU 1880297 A BR 9708069 A CA 2243696 A CN 1213272 A EP 0888057 A JP 2000506536 T		03-02-2000 10-10-1997 27-07-1999 25-09-1997 07-04-1999 07-01-1999 30-05-2000

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INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP 00/09339

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO 9734485	A	PL	328661 A	15-02-1999
		US	6063732 A	16-05-2000
		ZA	9702224 A	30-07-1998
EP 0298680	A 11-01-1989	US	4938796 A	03-07-1990
		AT	94339 T	15-10-1993
		AU	604336 B	13-12-1990
		AU	1867088 A	19-01-1989
		BG	60301 B	27-05-1994
		BR	8803350 A	17-01-1989
		CA	1337158 A	03-10-1995
		CN	1034300 A, B	02-08-1989
		CZ	8804882 A	13-10-1999
		DE	3884076 D	21-10-1993
		DE	3884076 T	10-02-1994
		DK	376488 A	07-01-1989
		EG	18668 A	30-12-1993
		ES	2059521 T	16-11-1994
		HU	51218 A	28-04-1990
		HU	205890 B	28-07-1992
		IE	61677 B	16-11-1994
		IL	86996 A	12-04-1994
		JP	1117803 A	10-05-1989
		JP	2896146 B	31-05-1999
		KR	9616186 B	06-12-1996
		MX	168198 B	11-05-1993
		NZ	225297 A	26-02-1991
		PH	25483 A	24-07-1991
		PL	273557 A	20-03-1989
		PT	87912 A, B	30-06-1989
		RO	101825 B	24-03-1992
		SK	488288 A	12-07-1999
		TR	24112 A	22-03-1991
		ZA	8804763 A	29-08-1990
		ZW	8988 A	14-03-1990
DE 4216880	A 25-11-1993	CN	1078852 A	01-12-1993
		IT	1265082 B	30-10-1996
		JP	6128106 A	10-05-1994
WO 0030447	A 02-06-2000	DE	19853827 A	25-05-2000
		AU	1380000 A	13-06-2000
WO 9966795	A 29-12-1999	DE	19827855 A	30-12-1999
		AU	4510499 A	10-01-2000
WO 0000031	A 06-01-2000	AU	4776899 A	17-01-2000
WO 0000029	A 06-01-2000	AU	4900699 A	17-01-2000

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PATENT COOPERATION TREATY

REC'D 6 FEB 2002

PCT

NOTIFICATION OF THE RECORDING
OF A CHANGE(PCT Rule 92bis.1 and
Administrative Instructions, Section 422)Date of mailing (day/month/year)
31 January 2002 (31.01.02)Applicant's or agent's file reference
PH 99052G2International application No.
PCT/EP00/09339

From the INTERNATIONAL BUREAU

To:

AVENTIS CROPS SCIENCE SA
Département Propriété Industrielle
- N° 153
B.P. 9163
F-69263 Lyon Cedex 09
FRANCERECEIVED
SEARCH CENTER 1600/2000
SEP 09 2002

IMPORTANT NOTIFICATION

International filing date (day/month/year)
08 September 2000 (08.09.00)

1. The following indications appeared on record concerning:

 the applicant the inventor the agent the common representative

Name and Address AVENTIS CROPS SCIENCE UK LIMITED Fyfield Road Ongar Essex CM5 0HW United Kingdom	Aventis CropScience GmbH LIMITED Lizenzabteilung K 80 Vorg. Eing. 15. Feb. 2002 ○ WV ○ ablegen	State of Nationality GB	State of Residence GB
		Telephone No.	
		Facsimile No.	
		Teleprinter No.	

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning: the person the name the address the nationality the residence

Name and Address AVENTIS CROPS SCIENCE UK LIMITED Hauxton Cambridge CB2 5HU United Kingdom	State of Nationality GB	State of Residence GB
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	

3. Further observations, if necessary:

4. A copy of this notification has been sent to:

<input checked="" type="checkbox"/> the receiving Office	<input type="checkbox"/> the designated Offices concerned
<input type="checkbox"/> the International Searching Authority	<input checked="" type="checkbox"/> the elected Offices concerned
<input type="checkbox"/> the International Preliminary Examining Authority	<input type="checkbox"/> other: <i>DP</i>

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized officer Gabriele BAEHR Telephone No.: (41-22) 338.83.38
---	--

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PATENT COOPERATION TREATY

PCT
NOTIFICATION OF ELECTION
(PCT Rule 61.2)

From the INTERNATIONAL BUREAU

To:

Commissioner
US Department of Commerce
United States Patent and Trademark
Office, PCT
2011 South Clark Place Room
CP2/5C24
Arlington, VA 22202
ETATS-UNIS D'AMERIQUE

in its capacity as elected Office

Date of mailing (day/month/year) 13 June 2001 (13.06.01)	To: Commissioner US Department of Commerce United States Patent and Trademark Office, PCT 2011 South Clark Place Room CP2/5C24 Arlington, VA 22202 ETATS-UNIS D'AMERIQUE in its capacity as elected Office
International application No. PCT/EP00/09339	Applicant's or agent's file reference PH 99052G2
International filing date (day/month/year) 08 September 2000 (08.09.00)	Priority date (day/month/year) 08 September 1999 (08.09.99)
Applicant PALLETT, Ken et al	

1. The designated Office is hereby notified of its election made:

in the demand filed with the International Preliminary Examining Authority on:

09 March 2001 (09.03.01)

in a notice effecting later election filed with the International Bureau on:

2. The election was

was not

made before the expiration of 19 months from the priority date or, where Rule 32 applies, within the time limit under Rule 32.2(b).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer Charlotte ENGER
Facsimile No.: (41-22) 740.14.35	Telephone No.: (41-22) 338.83.38

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PATENT COOPERATION TREATY

PCT

NOTIFICATION OF THE RECORDING
OF A CHANGE(PCT Rule 92bis.1 and
Administrative Instructions, Section 422)

Date of mailing (day/month/year) 31 January 2002 (31.01.02)	From the INTERNATIONAL BUREAU To: AVENTIS CROPS SCIENCE SA Département Propriété Industrielle - N° 153 B.P. 9163 F-69263 Lyon Cedex 09 FRANCE
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Applicant's or agent's file reference PH 99052G2	IMPORTANT NOTIFICATION
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International application No. PCT/EP00/09339	International filing date (day/month/year) 08 September 2000 (08.09.00)
---	--

1. The following indications appeared on record concerning:

the applicant the inventor the agent the common representative

Name and Address AVENTIS CROPS SCIENCE UK LIMITED Fyfield Road Ongar Essex CM5 0HW United Kingdom	State of Nationality GB	State of Residence GB
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	

2. The International Bureau hereby notifies the applicant that the following change has been recorded concerning:

the person the name the address the nationality the residence

Name and Address AVENTIS CROPS SCIENCE UK LIMITED Hauxton Cambridge CB2 5HU United Kingdom	State of Nationality GB	State of Residence GB
	Telephone No.	
	Facsimile No.	
	Teleprinter No.	

3. Further observations, if necessary:

4. A copy of this notification has been sent to:

<input checked="" type="checkbox"/> the receiving Office	<input type="checkbox"/> the designated Offices concerned
<input type="checkbox"/> the International Searching Authority	<input checked="" type="checkbox"/> the elected Offices concerned
<input type="checkbox"/> the International Preliminary Examining Authority	<input type="checkbox"/> other:

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland Facsimile No.: (41-22) 740.14.35	Authorized officer Gabriele BAEHR Telephone No.: (41-22) 338.83.38
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PATENT COOPERATION TREATY

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NOTICE INFORMING THE APPLICANT OF THE
COMMUNICATION OF THE INTERNATIONAL
APPLICATION TO THE DESIGNATED OFFICES

(PCT Rule 47.1(c), first sentence)

Date of mailing (day/month/year) 15 March 2001 (15.03.01)	From the INTERNATIONAL BUREAU	
Applicant's or agent's file reference PH 9905282 G'	To: AVENTIS CROPS SCIENCE SA Département Propriété Industrielle - N° 153 B.P. 9163 F-69263 Lyon Cedex 09 FRANCE	
International application No. PCT/EP00/09339	International filing date (day/month/year) 08 September 2000 (08.09.00)	Priority date (day/month/year) 08 September 1999 (08.09.99)
Applicant AVENTIS CROPS SCIENCE UK LIMITED et al	IMPORTANT NOTICE	

1. Notice is hereby given that the International Bureau has communicated, as provided in Article 20, the international application to the following designated Offices on the date indicated above as the date of mailing of this Notice:

AU, KP, KR, US

In accordance with Rule 47.1(c), third sentence, those Offices will accept the present Notice as conclusive evidence that the communication of the international application has duly taken place on the date of mailing indicated above and no copy of the international application is required to be furnished by the applicant to the designated Office(s).

2. The following designated Offices have waived the requirement for such a communication at this time:
AE, AG, AL, AM, AP, AT, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EA, EE, EP, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OA, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
The communication will be made to those Offices only upon their request. Furthermore, those Offices do not require the applicant to furnish a copy of the international application (Rule 49.1(a-bis)).

3. Enclosed with this Notice is a copy of the international application as published by the International Bureau on
15 March 2001 (15.03.01) under No. WO 01/17350

REMINDER REGARDING CHAPTER II (Article 31(2)(a) and Rule 54.2)

If the applicant wishes to postpone entry into the national phase until 30 months (or later in some Offices) from the priority date, a demand for international preliminary examination must be filed with the competent International Preliminary Examining Authority before the expiration of 19 months from the priority date.

It is the applicant's sole responsibility to monitor the 19-month time limit.

Note that only an applicant who is a national or resident of a PCT Contracting State which is bound by Chapter II has the right to file a demand for international preliminary examination.

REMINDER REGARDING ENTRY INTO THE NATIONAL PHASE (Article 22 or 39(1))

If the applicant wishes to proceed with the international application in the national phase, he must, within 20 months or 30 months, or later in some Offices, perform the acts referred to therein before each designated or elected Office.

For further important information on the time limits and acts to be performed for entering the national phase, see the Annex to Form PCT/IB/301 (Notification of Receipt of Record Copy) and Volume II of the PCT Applicant's Guide.

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Authorized officer J. Zahra
Facsimile No. (41-22) 740.14.35	Telephone No. (41-22) 338.83.38

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Continuation of Form PCT/IB/308

NOTICE INFORMING THE APPLICANT OF THE COMMUNICATION OF
THE INTERNATIONAL APPLICATION TO THE DESIGNATED OFFICES

Date of mailing (day/month/year) 15 March 2001 (15.03.01)	IMPORTANT NOTICE
Applicant's or agent's file reference PH 99052G2	International application No. PCT/EP00/09339
<p>The applicant is hereby notified that, at the time of establishment of this Notice, the time limit under Rule 46.1 for making amendments under Article 19 has not yet expired and the International Bureau had received neither such amendments nor a declaration that the applicant does not wish to make amendments.</p>	

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INTERNATIONALER RECHERCHENBERICHT

In nationales Aktenzeichen

PCT/EP 99/03980

A. KLASIFIZIERUNG DES ANMELDUNGSGEGENSTANDES
 IPK 6 A01N43/80 A01N43/56 A01N43/18 A01N25/32

Nach der Internationalen Patentklassifikation (IPK) oder nach der nationalen Klassifikation und der IPK

B. RECHERCHIERTE GEBIETE

Recherchierte Mindestprüfstoff (Klassifikationssystem und Klassifikationssymbole)
 IPK 6 A01N

Recherchierte aber nicht zum Mindestprüfstoff gehörende Veröffentlichungen, soweit diese unter die recherchierten Gebiete fallen

Während der internationalen Recherche konsultierte elektronische Datenbank (Name der Datenbank und evtl. verwendete Suchbegriffe)

C. ALS WESENTLICH ANGSEHENE UNTERLAGEN

Kategorie*	Bezeichnung der Veröffentlichung, soweit erforderlich unter Angabe der in Betracht kommenden Teile	Betr. Anspruch Nr.
X	WO 96 21357 A (ZENECA LTD) 18. Juli 1996 (1996-07-18) Ansprüche ---	1-12
X	EP 0 551 650 A (HOECHST AG) 21. Juli 1993 (1993-07-21) Ansprüche ---	1-12
X	EP 0 298 680 A (ICI AMERICA INC) 11. Januar 1989 (1989-01-11) Ansprüche ---	1-12
X	WO 97 01550 A (DU PONT ; TSENG CHI PING (US)) 16. Januar 1997 (1997-01-16) in der Anmeldung erwähnt Seite 83, Zeile 3 – Zeile 6 -----	1-12

Weitere Veröffentlichungen sind der Fortsetzung von Feld C zu entnehmen

Siehe Anhang Patentfamilie

* Besondere Kategorien von angegebenen Veröffentlichungen :

"A" Veröffentlichung, die den allgemeinen Stand der Technik definiert, aber nicht als besonders bedeutsam anzusehen ist

"E" älteres Dokument, das jedoch erst am oder nach dem internationalen Anmeldedatum veröffentlicht worden ist

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"&" Veröffentlichung, die Mitglied derselben Patentfamilie ist

Datum des Abschlusses der internationalen Recherche

Absendedatum des internationalen Recherchenberichts

24. September 1999

04/10/1999

Name und Postanschrift der Internationalen Recherchenbehörde
 Europäisches Patentamt, P.B. 5818 Patentlaan 2
 NL - 2280 HV Rijswijk
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,
 Fax: (+31-70) 340-3016

Bevollmächtigter Bediensteter

Decorte, D

INTERNATIONALER RECHERCHENBERICHT

Angaben zu Veröffentlichungen, die zur selben Patentfamilie gehören

Ir. internationales Aktenzeichen

PCT/EP 99/03980

Im Recherchenbericht angeführtes Patentdokument		Datum der Veröffentlichung		Mitglied(er) der Patentfamilie		Datum der Veröffentlichung
WO 9621357	A	18-07-1996		US 5627131 A		06-05-1997
				AP 680 A		30-09-1998
				AU 702142 B		11-02-1999
				AU 4312996 A		31-07-1996
				BG 101635 A		31-08-1998
				BR 9606891 A		27-04-1999
				CA 2209937 A		18-07-1996
				CN 1168083 A		17-12-1997
				CZ 9702068 A		13-05-1998
				EA 62 B		30-04-1998
				EP 0802732 A		29-10-1997
				HU 9800117 A		28-04-1998
				JP 10505099 T		19-05-1998
				NZ 297874 A		26-08-1998
				PL 321266 A		24-11-1997
				SK 90997 A		05-08-1998
				ZA 9600067 A		18-07-1996

EP 0551650	A	21-07-1993		AU 662581 B		07-09-1995
				AU 3047792 A		08-07-1993
				CA 2086491 A		01-07-1993
				EP 0943240 A		22-09-1999
				JP 5279204 A		26-10-1993
				US 5441922 A		15-08-1995

EP 0298680	A	11-01-1989		US 4938796 A		03-07-1990
				AT 94339 T		15-10-1993
				AU 604336 B		13-12-1990
				AU 1867088 A		19-01-1989
				BG 60301 B		27-05-1994
				CA 1337158 A		03-10-1995
				CN 1034300 A, B		02-08-1989
				DE 3884076 D		21-10-1993
				DE 3884076 T		10-02-1994
				DK 376488 A		07-01-1989
				EG 18668 A		30-12-1993
				ES 2059521 T		16-11-1994
				IE 61677 B		16-11-1994
				IL 86996 A		12-04-1994
				JP 1117803 A		10-05-1989
				KR 9616186 B		06-12-1996
				MX 168198 B		11-05-1993
				PH 25483 A		24-07-1991
				PT 87912 A, B		30-06-1989
				TR 24112 A		22-03-1990
				ZW 8988 A		14-03-1990

WO 9701550	A	16-01-1997		AU 695030 B		06-08-1998
				AU 6336596 A		30-01-1997
				BR 9609502 A		25-05-1999
				CA 2225248 A		16-01-1997
				CZ 9704101 A		15-04-1998
				EP 0836600 A		22-04-1998
				HU 9802204 A		28-01-1999
				NO 976073 A		27-02-1998
				PL 324318 A		25-05-1998
				SK 175797 A		08-07-1998
